



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 149848

TO: Janet Epps-Ford
Location: rem/2c05/2c18
Thursday, April 14, 2005
Art Unit: 1635
Serial Number: 09/438365

From: Beverly Shears
Location: Biotech-Chem Library
REM 1A54
Phone: 571-272-2528
beverly.shears@uspto.gov

Search Notes

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Janet L. Epps Examiner #: 76570 Date: 4-4-05
Art Unit: 1635 Phone Number: 2-0757 Serial Number: 091438, 365
Location (Bldg/Room#): Rem (Mailbox #): 2C08 Results Format Preferred (circle): PAPER DISK ME
*****2205*****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: ? Polyamide, Cationic Compounds
Inventors (please provide full names): for transfection
Chu, Yongliang; Masoud, Malek; Gebeyehu, Gulilat
Earliest Priority Date: (1998) → 11-12-1998

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search claim 111, the structures of these compounds are provided in the attachment after the claim. Thanks.

STAFF USE ONLY

| | Type of Search | Vendors and cost where applicable |
|------------------------------------|--|---|
| Searcher: <u>Beleny e2523</u> | <input type="checkbox"/> NA Sequence (#) | <input checked="" type="checkbox"/> STN <input type="checkbox"/> Dialog |
| Searcher Phone #: _____ | <input type="checkbox"/> AA Sequence (#) | <input type="checkbox"/> Questel/Orbit <input type="checkbox"/> Lexis/Nexis |
| Searcher Location: _____ | <input type="checkbox"/> Structure (#) | <input type="checkbox"/> Westlaw <input type="checkbox"/> WWW/Internet |
| Date Searcher Picked Up: _____ | <input type="checkbox"/> Bibliographic | <input type="checkbox"/> In-house sequence systems |
| Date Completed: _____ | <input type="checkbox"/> Litigation | <input type="checkbox"/> Commercial <input type="checkbox"/> Oligomer <input type="checkbox"/> Score/Length |
| Searcher Prep & Review Time: _____ | <input type="checkbox"/> Fulltext | <input type="checkbox"/> Interference <input type="checkbox"/> SPDI <input type="checkbox"/> Encode/Transl |
| Online Time: _____ | <input type="checkbox"/> Other | <input type="checkbox"/> Other (specify) |

09/438365

Epps, J.
09/438365

(FILE 'REGISTRY' ENTERED AT 15:10:01 ON 12 APR 2005)
L1 STR

11 12
OH OH
}
N~CH2~C~CH2~N~G1~N~CH2~C~G2~N
1 2 3 4 5 6 7 8 9 10 13

strs

REP G1=(2-4) CH2
REP G2=(0-1) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L2 STR

N~CH2~CH2~O~CH2~CH2~O~G1~N
1 2 3 4 5 6 7 8 9

REP G1=(1-2) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE
L3 (5435)SEA FILE=REGISTRY SSS FUL L1 OR L2
L4 STR

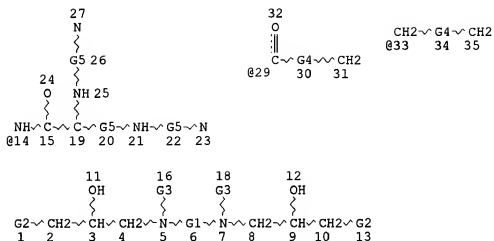
H2N~CH2~CH2~O~CH2~CH2~O~CH2~CH2~NH2
1 2 3 4 5 6 7 8 9 10

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L5 STR

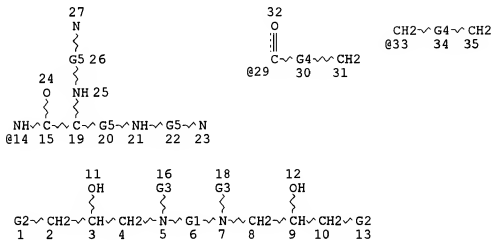
Searcher : Shears 571-272-2528



REP G1=(2-2) CH2
 VAR G2=NH2/14
 VAR G3=29/33
 REP G4=(6-6) CH2
 REP G5=(3-3) CH2
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE
 L6 STR



REP G1=(4-4) CH2
 VAR G2=NH2/14
 VAR G3=29/33
 REP G4=(6-6) CH2
 REP G5=(3-3) CH2
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM

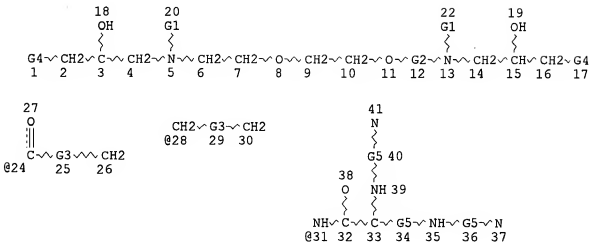
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L7 STR



VAR G1=24/28

REP G2=(1-2) CH2

REP G3=(6-6) CH2

VAR G4=NH2/31

REP G5=(3-3) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE

L8 (547)SEA FILE=REGISTRY SUB=L3 SSS FUL (L4 OR L5 OR L6 OR L7)
L9 (155)SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND NO RSD/FA ← No ring data
L10 10 SEA FILE=REGISTRY ABB=ON PLU=ON L9 AND 1/NC ← one (1) compd.

FILE 'CAPLUS' ENTERED AT 15:10:36 ON 12 APR 2005

L11 1122 S L10

L12 18 S L11 AND TRANSFECT?

L12 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:840570 CAPLUS

DOCUMENT NUMBER: 142:43616

TITLE: PAMAM-PEG-PAMAM: novel triblock copolymer as a biocompatible and efficient gene delivery carrier

AUTHOR(S): Kim, Tae-Il; Seo, Hyo Jung; Choi, Joon Sig; Jang, Hyung-Suk; Baek, Jungun; Kim, Kwan; Park, Jong-Sang

CORPORATE SOURCE: School of Chemistry Molecular Engineering, Seoul National University, Seoul, 151-742, S. Korea

SOURCE: Biomacromolecules (2004), 5(6), 2487-2492

Searcher : Shears 571-272-2528

PUBLISHER: CODEN: BOMAF6; ISSN: 1525-7797
 DOCUMENT TYPE: American Chemical Society
 LANGUAGE: Journal
 AB English

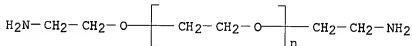
AB A novel triblock copolymer, PAMAM-block-PEG-block-PAMAM was synthesized and applied as a gene carrier. PAMAM dendrimer is proven to be an efficient gene carrier itself, but it is associated with certain problems such as low water solubility and considerable cytotoxicity. Therefore, we introduced PEG to engineer a nontoxic and highly **transfection** efficient polymeric gene carrier because PEG is known to convey water-solubility and biocompatibility to the conjugated copolymer. This copolymer could achieve self-assembly with plasmid DNA, forming compact nanosized particles with a narrow size distribution. Fulfilling our expectations, the copolymer was found to form highly water-soluble polyplexes with plasmid DNA, showed little cytotoxicity despite its poor degradability, and finally achieved high **transfection** efficiency comparable to PEI in 293 cells. Consequently, these data showed that an approach involving the introduction of PEG to create a tree-like cationic copolymer possesses a great potential for use in gene delivery systems.

IT 24991-53-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (PAMAM-PEG-PAMAM triblock copolymer as a biocompatible and efficient gene delivery carrier)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:490919 CAPLUS

DOCUMENT NUMBER: 141:212546

TITLE: A New Triantennary Galactose-Targeted PEGylated Gene Carrier, Characterization of Its Complex with DNA, and **Transfection** of Hepatoma Cells

AUTHOR(S): Frisch, Benoit; Carriere, Marie; Largeau, Celine; Mathey, Frederic; Masson, Christophe; Schuber, Francis; Scherman, Daniel; Escricou, Virginie

CORPORATE SOURCE: Unite de Pharmacologie Chimique et Genetique, Faculte des Sciences Pharmaceutiques et Biologiques de Paris, Paris, 75270, Fr.

SOURCE: Bioconjugate Chemistry (2004), 15(4), 754-764
 CODEN: BCCHE; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Nonviral gene vectors remain inefficient in vivo largely because of their rapid clearance from the circulation and also their nonspecific association with the extracellular matrix. To overcome such drawbacks, cationic lipopolyplexes are now frequently coated with hydrophilic

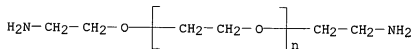
polymers such as PEGs to reduce nonspecific interactions, and ligands are also linked to their surface to obtain cell-specific gene transfer. In view of the development of vectors for systemic gene delivery, we have designed and studied lipoplexes that carry a triantennary galactosyl ligand attached to the distal end of a (PEG)45-conjugated lipid. We incorporated this targeted PEGylated lipid into lipoplexes using two strategies of formulation, i.e., using either preformed micelles or liposomes. We demonstrated that the incorporation of PEG chains stabilized lipoplexes and masked, but only partially, the pos. charges exposed on the surface of the particles. We have also shown that incorporation into lipoplexes of a lipidated PEG chain, bearing a ligand at its distal end, yielded particles that exhibited an accessible ligand throughout the whole range of cationic lipid to DNA ratios. We obtained a targeted **transfection** in HepG2 cells with one of the formulations. Our results strengthen the validity of using a ligand carried by a long PEG spacer arm for targeted gene transfer.

IT 24991-53-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(triantennary galactose-targeted PEGylated gene carrier and complex with DNA and **transfection** of hepatoma cells)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:981480 CAPLUS

DOCUMENT NUMBER: 140:247234

TITLE: Novel targeting strategy based on multimeric ligands for drug delivery and molecular imaging: homooligomers of α -MSH

AUTHOR(S): Vagner, Josef; Handl, Heather L.; Gillies, Robert J.; Hruby, Victor J.

CORPORATE SOURCE: Department of Chemistry, University of Arizona, Tucson, AZ, 85721, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(1), 211-215

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Homooligomers constructed with 4- and 6-amino acid fragments of melanocortin (α -MSH) bind with higher affinity and with apparent cooperativity to melanocortin receptor, compared to their constituent monomers. Individual ligands were tethered with various spacers of different length and rigidity and the influence of spacers on binding was studied. Binding assays were performed on cells **transfected** with the melanocortin receptor, hMC4R. There is a 5-7-fold decrease in the EC50 with the addition of each subunit, going

from monomer to trimer. The Hill coefficient increases from 0.76 for the monomer to 1.12 for the dimer and 1.35 for the trimer. These data show a general trend of increasing avidity with increasing number of ligands in oligomers.

IT 929-59-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(novel targeting strategy based on multimeric ligands for drug delivery and mol. imaging in relation to homooligomers of α -MSH as evaluated in HEK-293 cells)

RN 929-59-9 CAPLUS

CN Ethanamine, 2,2'-[1,2-ethanediylbis(oxy)]bis- (9CI) (CA INDEX NAME)

$\text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{O}-\text{CH}_2-\text{CH}_2-\text{O}-\text{CH}_2-\text{CH}_2-\text{NH}_2$

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:227005 CAPLUS

DOCUMENT NUMBER: 138:358338

TITLE: Structural effects of carbohydrate-containing polycations on gene delivery. 3.cyclodextrin type and functionalization

AUTHOR(S): Popielarski, Stephen R.; Mishra, Swaroop; Davis, Mark E.

CORPORATE SOURCE: Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA

SOURCE: Bioconjugate Chemistry (2003), 14(3), 672-678
CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

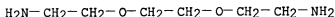
AB Linear cationic β -cyclodextrin (β -CD)-based polymers can form polyplexes with plasmid DNA and **transfect** cultured cells. The effectiveness of the gene delivery and the cellular toxicity has been related to structural features in these polycations. Previous β -CD polycations were prepared from the cocondensation of 6A,6D-dideoxy-6A,6D-diamino- β -CD monomers with other difunctionalized monomers such as di-Me suberimide (DMS). Here, the type of CD and its functionalization are varied by synthesizing numerous 3A,3B-dideoxy-3A,3B-diamino- β - and γ -CD monomers. Both alkyl- and alkoxydiamines are prepared in order to vary the nature of the spacing between the CD and the primary amines in the monomers. These diamino-CD-monomers are polymerized with DMS to yield amidine-based polycations. The nature of the spacer between the CD-ring and the primary amines of each monomer is found to influence both mol. weight and polydispersity of the polycations. When these polycations are used to form polyplexes with plasmid DNA, longer alkyl regions between the CD and the charge centers in the polycation backbone increase **transfection** efficiency and toxicity in BHK-21 cells, while increasing hydrophilicity of the spacer (alkoxy vs. alkyl) provides for lower toxicity. Further, γ -CD-based polycations are shown to be less toxic than otherwise identical β -CD-based polycations.

IT 929-59-9, 1,2-Bis(2-aminoethoxy)ethane

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclodextrin type and functionalization effect on performance of

Searcher : Shears 571-272-2528

carbohydrate-containing polycations on gene delivery)
 RN 929-59-9 CAPLUS
 CN Ethanamine, 2,2'-[1,2-ethanediylbis(oxy)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:157615 CAPLUS

DOCUMENT NUMBER: 139:385993

TITLE: Preparation and characterization of folate-targeted pEG-coated pDMAEMA-based polyplexes

AUTHOR(S): van Steenis, J. H.; van Maarseveen, E. M.; Verbaan, F. J.; Verrijk, R.; Crommelin, D. J. A.; Storm, G.; Hennink, W. E.

CORPORATE SOURCE: Utrecht Institute for Pharmaceutical Sciences (UIPS), Department of Pharmaceutics, Utrecht University, Utrecht, 3508 TB, Neth.

SOURCE: Journal of Controlled Release (2003), 87(1-3), 167-176

CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

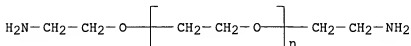
AB A folate-poly(ethylene glycol) conjugate capable of covalent coupling to primary amines present at the surface of polyplexes was developed. Coating of poly(dimethylaminomethyl methacrylate) (pDMAEMA)-based polyplexes with this folate-pEG conjugate led to a sharp decrease of the ζ -potential, and a small increase in particle size. The size of the particles in isotonic medium did not change markedly in time demonstrating that rather stable particles were formed. The in vitro cellular toxicity of the pEGylated polyplexes with and without folate ligands was lowered considerably compared to uncoated polyplexes. The toxicity observed for the targeted pEGylated polyplexes was slightly higher than that of corresponding untargeted polyplexes, which might indicate an increased cellular association of targeted polyplexes. Transfection of OVCA-3 cells in vitro was markedly increased compared to untargeted pEGylated polyplexes, suggesting targeted gene delivery.

IT 24991-53-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (folate-targeted PEG-coated pDMAEMA-based DNA polyplexes)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)

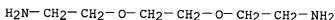


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR

Searcher : Shears 571-272-2528

THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

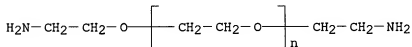
L12 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:237763 CAPLUS
 DOCUMENT NUMBER: 137:10872
 TITLE: Polysaccharide-Oligoamine Based Conjugates for Gene Delivery
 AUTHOR(S): Azzam, Tony; Eliyahu, Hagit; Shapira, Libi; Linial, Michal; Barenholz, Yechezkel; Domb, Abraham J.
 CORPORATE SOURCE: Department of Medicinal Chemistry and Natural Products, School of Pharmacy, Faculty of Medicine, The Hebrew University, Jerusalem, 91120, Israel
 SOURCE: Journal of Medicinal Chemistry (2002), 45(9), 1817-1824
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB This work describes a versatile and universal polycation system based on oligoamines grafted on natural polysaccharides that is capable of complexing various plasmids and administering them into various cells in high yield to produce a desired protein. These polycations are expected to better meet the requirements for effective complexation and delivery of plasmid or an antisense and to biodegrade into nontoxic components at a controlled rate. The developed biodegradable polycations are based on spermine, a natural tetramine, conjugated to dextran or arabinogalactan. These polycations were prepared by reductive amination of oxidized polysaccharides with the desired oligoamines. The Schiff base conjugates thus obtained were reduced to the stable amine conjugates by sodium borohydride. Over 300 different polycations were prepared starting from various polysaccharides and oligoamines, mainly oligoamines of 2-4 amino groups. Although most of these conjugates formed stable complexes with various plasmids as determined by turbidity expts., only a few polycations were active in **transfecting** cells. Thus, the structure of the polycation plays a significant role in the **transfection** activity of polycations.
 IT 929-59-9DP, reaction product with dextran dialdehyde, reduced
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (polysaccharide-oligoamine-based conjugates for gene delivery)
 RN 929-59-9 CAPLUS
 CN Ethanamine, 2,2'-[1,2-ethanediybis(oxy)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L12 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:130632 CAPLUS
 DOCUMENT NUMBER: 137:315930
 TITLE: Optimization of factors influencing the **transfection** efficiency of

folate-PEG-folate-graft-polyethylenimine
 AUTHOR(S): Bennis, Jonathan M.; Mahato, Ram I.; Kim, Sung Wan
 CORPORATE SOURCE: Department of Pharmaceutics and Pharmaceutical
 Chemistry, Center for Controlled Chemical
 Delivery, University of Utah, Salt Lake City, UT,
 84112-5820, USA
 SOURCE: Journal of Controlled Release (2002), 79(1-3),
 255-269
 CODEN: JCREEC; ISSN: 0168-3659
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Folate-poly(ethylene glycol)-folate-grafted-polyethylenimine
 (FPF-g-PEI) was synthesized over a range of grafting ratios of
 folate-poly(ethylene glycol)-folate (FPF) to polyethylenimine (PEI).
 The conjugation was determined using the absorbance at 363 nm for each
 polymer. FPF-g-PEIs were determined to have 2.3, 5.2, 9.3 and 20 FPF
 linear polymers grafted to each PEI. The average mol. weight was
 calculated to be .apprx.34,848, 47,266, 64,823 and 110,640 Da, resp. The pH
 profiles of FPF-g-PEIs suggest that the polymers have endosomal
 disruption capacity, and the gel electrophoretic band retardation
 showed efficient condensation of DNA. The **transfection**
 efficiency, determined using plasmid encoding luciferase, was dependent on
 the cell type and was different for CT-26 colon adenocarcinoma, KB
 oral epidermoid, and normal smooth muscle cells (SMC). The relative
 toxicity of polymer/plasmid complexes was determined using the MTT
 colorimetric assay. At neutral charge ratio, FPF-g-PEI/pLuc complexes
 were less toxic to cells and showed higher **transfection** in
 cancer cells compared to PEI/pLuc complexes. Smooth muscle cells
 showed no specificity for FPF-g-PEI/pLuc complexes, whereas PEI/pLuc
 complexes showed a higher **transfection** efficiency. The
transfection efficiency increased when neutral polymer/DNA
 complex concns. increased, but decreased when pos. charged polymer/DNA
 complex concns. increased. There was little increase in toxicity when
 FPF-5.2g-PEI/pLuc complex concns. increased.
 IT 24991-53-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (optimization of factors influencing the **transfection**
 efficiency of folate-PEG-folate-graft-polyethylenimine)
 RN 24991-53-5 CAPLUS
 CN Poly(oxy-1,2-ethanediy), α -(2-aminoethyl)- ω -(2-
 aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

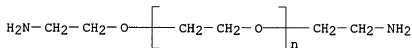
L12 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:86795 CAPLUS
 DOCUMENT NUMBER: 137:237534
 TITLE: Characterization of a novel pH-sensitive peptide
 that enhances drug release from folate-targeted

Searcher : Shears 571-272-2528

liposomes at endosomal pHs
 AUTHOR(S): Turk, Mary Jo; Reddy, Joseph A.; Chmielewski, Jean A.; Low, Philip S.
 CORPORATE SOURCE: Department of Chemistry, Purdue University, West Lafayette, IN, 47907, USA
 SOURCE: Biochimica et Biophysica Acta (2002), 1559(1), 56-68
 CODEN: BBACAQ; ISSN: 0006-3002
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Although liposomes have proven useful for the delivery of drugs and gene therapy vectors, their potencies are often compromised by poor unloading following uptake into their target cells. We have consequently explored the properties of a novel 29-residue amphipathic peptide that was designed by arrangement of hydrophobic and hydrophilic residues to disrupt liposomes at lower peptide concns. than previously tested peptides. The peptide was indeed found to promote pH-dependent liposome unloading with improved efficiency. A peptide of the same sequence, but half the length, however, promoted pH-dependent permeabilization only at much higher concns. Further characterization of the longer peptide revealed that release of liposome contents (i) occurred at a pH of .apprx.6, (ii) became less efficient as the size of the encapsulated cargo increased, and (iii) was moderately suppressed in cholesterol-containing liposomes. Use of this peptide to enhance the cytotoxicity of cytosine arabinoside encapsulated in folate-targeted liposomes demonstrated an increase in drug potency of .apprx.30-fold. Gene expression by a serum-stable folate-targeted liposomal vector was also measurably enhanced by inclusion of the peptide. We conclude that intracellular unloading of liposomal contents can be significantly improved by co-encapsulation of an optimally designed, pH-sensitive peptide.

IT 24991-53-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (pH-sensitive peptide that enhances drug release from folate-targeted liposomes at endosomal pHs)
 RN 24991-53-5 CAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2001:576701 CAPLUS
 DOCUMENT NUMBER: 136:156305
 TITLE: Folate-PEG-folate-graft-polyethylenimine-based gene delivery
 AUTHOR(S): Bennis, Jonathan M.; Maheshwari, Anurag; Furgeson, Darin Y.; Mahato, Ram I.; Kim, Sung Wan
 CORPORATE SOURCE: Center for Controlled Chemical Delivery, Department of Pharmaceutics and Pharmaceutical

Chemistry, University of Utah, Salt Lake City, UT,
84112-5820, USA

SOURCE: Journal of Drug Targeting (2001), 9(2), 123-139,
176-178, Plate III, IV and V
CODEN: JDTAEH; ISSN: 1061-186X

PUBLISHER: Harwood Academic Publishers

DOCUMENT TYPE: Journal

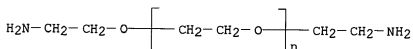
LANGUAGE: English

AB Folate-polyethylene glycol-folate-grafted-polyethylenimine (FPF-g-PEI) was synthesized by linking folic acid to both ends of a mono-functional PEG and then grafting to PEI. The graft ratio was determined using Beer's law by measuring the UV absorbance at 363 nm. The pH profile, diameter and shape of the carriers were determined. **Transfection** efficiencies were optimized in normal smooth muscle cells (SMC) and CT-26 colon adenocarcinoma cells using plasmid DNA encoding luciferase reporter gene. Free folic acid was shown to inhibit **transfection** with FPF-2.3g-PEI at neutral charge ratio. Relative toxicity between PEI and the modified carrier was measured using MTT colorimetric assay. Therapeutic potential of pmIFN- γ complexed with these polymeric carriers in terms of gene expression was determined at protein and mRNA levels using ELISA and RT-PCR. FPF-g-PEI was determined to have 2.3 folate-PEG-folate (FPF) linear polymers grafted to each PEI mol. The average mol. weight was measured to be .apprx.33,500 Mw and the pH profile was characteristic of endosomal disruption capacity. Atomic Force Microscopy (AFM) and Dynamic Laser Light Scattering (DLS) indicated FPF-2.3g-PEI and PEI (at 2 weight/weight ratio) efficiently condensed plasmid DNA resulting in oblique spheroid polyplexes with a mean diameter of .apprx.150 nm. FPF-2.3g-PEI was superior to PEI in terms of cytotoxicity and **transfection** efficiency in cancer cells. Smooth muscle cells showed no specificity for folate tethered complexes, where PEI/pLuc complexes yielded higher efficiencies.

IT 24991-53-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(folate-PEG-folate-graft-polyethylenimine-based gene delivery)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2001:1183 CAPLUS

DOCUMENT NUMBER: 134:52249

TITLE: Copolymers of amphiphilic polymers and peptides for coating of DNA-polycation complexes for **transfection** and gene therapy

INVENTOR(S): Plank, Christian; Finsinger, Dirk

PATENT ASSIGNEE(S): Technische Uni Munchen, Klinikum Rechts der Isar, Inst. fur Experiment. Onkologie und Therapieforchung, Germany

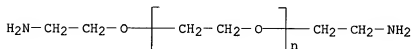
SOURCE: Eur. Pat. Appl., 39 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| EP 1063254 | A1 | 20001227 | EP 1999-112260 | 19990625 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CA 2377207 | AA | 20010104 | CA 2000-2377207 | 20000621 |
| WO 2001000708 | A1 | 20010104 | WO 2000-EP5778 | 20000621 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1198489 | A1 | 20020424 | EP 2000-936907 | 20000621 |
| EP 1198489 | B1 | 20040428 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003503370 | T2 | 20030128 | JP 2001-506715 | 20000621 |
| AT 265488 | E | 20040515 | AT 2000-936907 | 20000621 |
| AU 776715 | B2 | 20040916 | AU 2000-52228 | 20000621 |
| ES 2219346 | T3 | 20041201 | ES 2000-936907 | 20000621 |
| CA 2377211 | AA | 20010104 | CA 2000-2377211 | 20000623 |
| WO 2001000709 | A1 | 20010104 | WO 2000-EP5869 | 20000623 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 1208133 | A1 | 20020529 | EP 2000-947874 | 20000623 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003503569 | T2 | 20030128 | JP 2001-506716 | 20000623 |
| US 2003026840 | A1 | 20030206 | US 2001-23317 | 20011217 |
| PRIORITY APPLN. INFO.: | | | EP 1999-112260 | A 19990625 |
| | | | DE 1999-19956502 | A 19991124 |
| | | | WO 2000-EP5778 | W 20000621 |
| | | | WO 2000-EP5869 | W 20000623 |

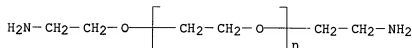
AB Use of polycation-DNA complexes for transfection of cells in vivo results in activation of the complement system. Copolymers of amphiphilic polymers (e.g., PEG) and peptides may be used to coat the polycation-DNA complexes and prevent complement activation. Thus,

copolymers of amphiphilic polymers and peptides, as well as polycation-DNA complexes coated with these copolymers for use in gene therapy are disclosed. Thus, copolymers of the invention containing PEG and an endosmolytic peptide or polyglutamate were prepared. Such copolymers prevented complement activation by PEI-DNA complexes and increased gene expression during gene therapy.

IT 24991-53-5, Polyethylene glycol diamine 24991-53-5D,
Polyethylene glycol diamine, conjugates with peptide derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(copolymers of amphiphilic polymers and peptides for coating of
DNA-polycation complexes for **transfection** and gene
therapy)
RN 24991-53-5 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-
aminoethoxy)- (9CI) (CA INDEX NAME)



RN 24991-53-5 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-
aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L12 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2000:755211 CAPLUS

DOCUMENT NUMBER: 133:340208

TITLE: Novel compositions useful for delivering
anti-inflammatory agents into a cell

INVENTOR(S): Unger, Evan C.; McCreery, Thomas; Sadewasser,
David A.

PATENT ASSIGNEE(S): Imarx Pharmaceutical Corp., USA

SOURCE: Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| EP 1046394 | A2 | 20001025 | EP 2000-303249 | 20000418 |
| EP 1046394 | A3 | 20011010 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRIORITY APPLN. INFO.: | | | US 1999-294623 | A 19990419 |

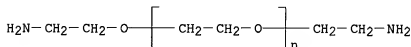
Searcher : Shears 571-272-2528

AB The present invention is directed, inter alia, to compns. and their use for delivering compds. into a cell. In a preferred embodiment, the compns. comprise, in combination with the compound to be delivered, an organic halide, a targeting ligand, and a nuclear localization sequence, optionally in the presence of a carrier. Ultrasound may be applied, if desired. The compns. are particularly suitable for the treatment of inflammatory diseases.

IT **24991-53-5**, Polyethylene glycol diamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide compns. useful for delivering anti-inflammatory agents into a cell)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:672364 CAPLUS

DOCUMENT NUMBER: 134:212604

TITLE: Molecular design of cell specific polymeric DNA carriers for hepatocyte

AUTHOR(S): Lim, Dong Woo; Jeong, Ji Hoon; Park, Tae Gwan

CORPORATE SOURCE: Department of Biological Sciences, Korea Advanced Institute of Science and Technology, Taejon, 305-701, S. Korea

SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (2000), 27th, 879-880

CODEN: PCRMEX; ISSN: 1022-0178

PUBLISHER: Controlled Release Society, Inc.

DOCUMENT TYPE: Journal

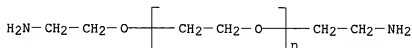
LANGUAGE: English

AB The study demonstrated that sufficient **transfection** efficiency as high as a com. agent could be attained by designing the mol. structure of cationic 2-dimethylaminoethyl methacrylate-N-vinylpyrrolidone-PEG block copolymer with a targeting moiety, galactose at the end of PEG blocks and coating polymer/DNA complex with pH dependent, endosomal disruptive peptide, KALA.

IT **24991-53-5**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (mol. design of cell specific polymeric DNA carriers for hepatocyte)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)

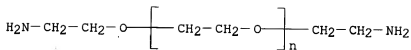


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR

Searcher : Shears 571-272-2528

THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L12 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2000:605918 CAPLUS
 DOCUMENT NUMBER: 133:340050
 TITLE: Poly(DMAEMA-NVP)-b-PEG-galactose as Gene Delivery Vector for Hepatocytes
 AUTHOR(S): Lim, Dong Woo; Yeom, Young Il; Park, Tae Gwan
 CORPORATE SOURCE: Department of Biological Sciences, Korea Advanced Institute of Science and Technology, Taejon, 305-701, S. Korea
 SOURCE: Bioconjugate Chemistry (2000), 11(5), 688-695
 CODEN: BCCHE; ISSN: 1043-1802
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A block copolymer composed of cationic polymer and poly(ethylene glycol) (PEG) was used as a DNA carrier. Poly(2-dimethylaminoethyl methacrylate) (DMAEMA)-co-N-vinyl-2-pyrrolidone (NVP) having a terminal carboxylic group was synthesized by free radical polymerization using an initiator, 4,4'-azobis(4-cyanovaleric acid). The terminal carboxylic acid was activated by N-hydroxysuccinimide (NHS) with dicyclohexylcarbodiimide (DCC) and then conjugated with PEG-bis(amine). For specific gene targeting to asialoglycoprotein receptor of hepatocytes, a galactose moiety was incorporated into the PEG terminal end of poly(DMAEMA-NVP)-b-PEG by reductive coupling using lactose and sodium cyanoborohydride. RSV luciferase plasmid was used as a reporter gene, and in vitro gene transfection efficiency was measured in HepG2 human hepatocarcinoma cells. Poly(DMAEMA-NVP)-b-PEG-galactose/DNA complexes formed at 0.5-2 polymer/plasmid weight ratio had compacted structures around 200 nm particle size and exhibited slightly neg. surface charge. These complexes were coated with a cationic, pH sensitive, endosomolytic peptide, KALA, to generate pos. charged poly(DMAEMA-NVP)-b-PEG-galactose/DNA/KALA complex particles. In the presence of serum proteins, both the PEG block and the galactose moiety of poly(DMAEMA-NVP)-b-PEG-galactose greatly enhanced the gene transfection efficiency, which was very close to that of Lipofectamine plus. Irresp. of the presence of serum proteins, as the KALA/DNA weight ratio increased, the transfection efficiency of poly(DMAEMA-NVP)-b-PEG-galactose was enhanced due to the pH dependent endosomal disruptive property of KALA. This study demonstrates that sufficient transfection efficiency as high as that of com. agent could be attained by judicious formulation of mol. engineered poly(DMAEMA-NVP)-b-PEG-galactose in combination with an endosomolytic peptide, KALA.
 IT 24991-53-5DP, reaction products with dimethylaminoethyl methacrylate-N-vinylpyrrolidone copolymer and lactose
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (poly(DMAEMA-NVP)-b-PEG-galactose as gene delivery vector for hepatocytes)
 RN 24991-53-5 CAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:473516 CAPLUS

DOCUMENT NUMBER: 134:90985

TITLE: Receptor-targeted gene delivery via folate-conjugated polyethylenimine

AUTHOR(S): Guo, Wenjin; Lee, Robert J.

CORPORATE SOURCE: Division of Pharmaceuticals, College of Pharmacy, The Ohio State University, Columbus, OH, 43210, USA

SOURCE: PharmSci [online computer file] (1999), 1(4), No pp. given
CODEN: PHARFY; ISSN: 1522-1059
URL: <http://www.pharmsci.org/journal/processCompTas.gs.html?jshow=211&referer=www.pharmsci.org%2Fjournal%2Fissues%2Fvol-1-num-4%2Findex.html>
PUBLISHER: American Association of Pharmaceutical Scientists
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

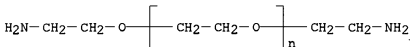
AB A novel synthetic gene transfer vector was evaluated for tumor cell-specific targeted gene delivery. The folate receptor is a tumor marker overexpressed in more than 90% of ovarian carcinomas and large percentages of other human tumors. Folic acid is a high affinity ligand for the folate receptor that retains its binding affinity upon derivatization via its gamma carboxyl. Folate conjugation, therefore, presents a potential strategy for tumor-selective targeted gene delivery. In the current study, we investigated a series of folate conjugates of the cationic polymer polyethylenimine (PEI) for potential use in gene delivery. A plasmid containing a luciferase reporter gene (pCMV-Luc) and the folate receptor expressing human oral cancer KB cells were used to monitor gene transfer efficiency in vitro. **Transfection** activity of polyplexes containing unmodified polyethylenimine was highly dependent on the pos. to neg. charge (or the N/P) ratio. Folate directly attached to PEI did not significantly alter the **transfection** activity of its DNA complexes compared to unmodified PEI. Modification of PEI by polyethylene glycol (PEG) led to a partial inhibition of gene delivery compared to unmodified PEI. Attaching folates to the distal termini of PEG-modified PEI greatly enhanced the **transfection** activity of the corresponding DNA complexes over the polyplexes containing PEG-modified PEI. The enhancements were observed at all N/P ratios tested and could be blocked partially by co-incubation with 200 μM free folic acid, which suggested the involvement of folate receptor in gene transfer. Targeted vectors based on the folate-PEG-PEI conjugate are potentially useful as simple tumor-specific vehicles of therapeutic genes.

IT 24991-53-5D, Polyethylene glycol diamine, conjugates with folic acid and polyethylenimine
RI: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES

(Uses)

(receptor-targeted gene delivery via folate-conjugated polyethylenimine)

RN 24991-53-5 CAPLUS

CN Poly(oxy-1,2-ethanediy), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:341375 CAPLUS

DOCUMENT NUMBER: 133:140025

TITLE: Targeted gene delivery via the folate receptor

AUTHOR(S): Guo, Wenjin; Lee, Robert J.

CORPORATE SOURCE: Division of Pharmaceuticals and Pharmaceutical Chemistry, College of Pharmacy, The Ohio State University, Columbus, OH, 43210, USA

SOURCE: ACS Symposium Series (2000), 752(Controlled Drug Delivery), 212-219

CODEN: ACSMC8; ISSN: 0097-6156

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel synthetic gene transfer vector system is developed based on targeting to the folate receptor. The folate receptor is a cellular marker overexpressed in over 90% of ovarian carcinomas and large percentages of other human tumors. Folic acid is a high affinity ligand for the folate receptor that retains its binding affinity upon derivatization at its gamma carboxyl. Folate conjugation, therefore, presents a novel strategy for tumor-specific targeted drug delivery. In the current study, we investigated novel folate conjugates of the cationic polymer polyethylenimine (PEI), for potential applications in receptor-mediated gene delivery. Unmodified PEI (M.W. 25,000) forms charge complexes with plasmid DNA carrying the luciferase reporter gene and was capable of cellular **transfection**, the efficiency of which depends on charge ratio (N/P ratio). Folate directly attached to PEI did not alter the **transfection** activity of its DNA complex compared to unmodified PEI. Modification of PEI by polyethylene glycol (PEG) partially inhibited gene delivery. Attaching a folate to the distal terminus of PEG-modified PEI greatly increased the **transfection** activity in cultured folate receptor-pos. human oral carcinoma KB cells at all N/P ratios. This increase was partially blocked by co-incubation with 200 μM free folic acid, suggesting the involvement of folate receptor in gene transfer. Targeted synthetic vectors based on cationic polymer-folate conjugate may be useful in the tumor-specific delivery of therapeutic genes.

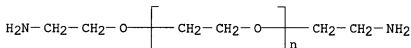
IT 24991-53-5DP, reaction products with folic acid

RL: BPR (Biological process); BSU (Biological study, unclassified);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); PROC (Process); USES (Uses)

(targeted gene delivery via the folate receptor)
 RN 24991-53-5 CAPLUS
 CN Poly(oxy-1,2-ethanediy), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 2000:335366 CAPLUS

DOCUMENT NUMBER: 132:334312

TITLE: synthesis and activity of **transfection** reagents for transport of biol. active agents or substances into cells

INVENTOR(S): Chu, Yongliang; Masoud, Malek; Gebeyehu, Gulilat

PATENT ASSIGNEE(S): Life Technologies, Inc., USA

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

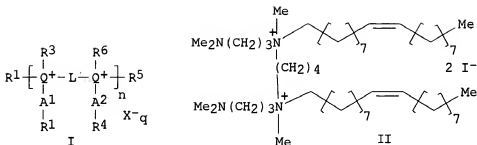
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2000027795 | A1 | 20000518 | WO 1999-US26825 | 19991112 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2350882 | AA | 20000518 | CA 1999-2350882 | 19991112 |
| EP 1129064 | A1 | 20010905 | EP 1999-971794 | 19991112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002529439 | T2 | 20020910 | JP 2000-580975 | 19991112 |
| NZ 512244 | A | 20031219 | NZ 1999-512244 | 19991112 |
| AU 772847 | B2 | 20040506 | AU 2000-14776 | 19991112 |
| PRIORITY APPLN. INFO.: | | | US 1998-108117P | P 19981112 |
| | | | WO 1999-US26825 | W 19991112 |

OTHER SOURCE(S): MARPAT 132:334312
 GI



AB Synthesis and activity of **transfection** reagents (I) [Q = N, O, S; L = (un)substituted alkyl, ether, polyether, amide, polyamide, ester, sulfide, urea, thiourea, guanidyl, carbamoyl, carbonate, phosphate, sulfate, sulfoxide, imine, carbonyl, secondary amine; R1-R6 independently = (un)substituted alkyl, alkenyl, aryl, ether; A1, A2 independently = CH2O, CH2S, CH2NH, CO, C=NH, CS, alkyl; X = physiol. acceptable anion; n = 1-1000; q = number of pos. charge divided by valence of anion], cationic lipids capable of facilitating transport of biol. active agents or substances into cells, are disclosed. Thus, I [R1, R4 = oleyl; R2, R5 = Me2N(CH2)3; R3, R6 = Me; A1, A2 = CH2; L = (CH2)4; X = I] (II) is prepared by reaction of bis-1,4-oleyl-1,4-butanediamine with acrylonitrile followed by reduction of nitrile to amine and quaternization of amine with Me iodide. II shows an activity of 37.8 ng/βgal/cm2 in DNA delivery. Formulations containing I are given.

IT 268554-12-7P

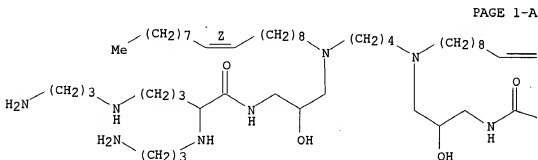
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and activity of **transfection** reagents for transport of biol. active agents or substances into cells)

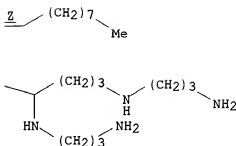
RN 268554-12-7 CAPLUS

CN Pentanamide, N,N'-[1,4-butanediylbis[[(9Z)-9-octadecenylimino] (2-hydroxy-3,1-propanediyl)]]bis[2,5-bis[(3-aminopropyl)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



PAGE 1-A



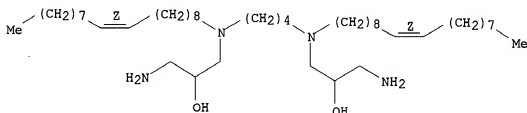
IT 268539-48-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)(synthesis and activity of **transfection** reagents for
transport of biol. active agents or substances into cells)

RN 268539-48-6 CAPLUS

CN 2-Propanol, 1,1'-[1,4-butanediylbis[(9Z)-9-octadecenylimino]]bis[3-amino- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L12 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:208541 CAPLUS

DOCUMENT NUMBER: 133:79168

TITLE: Poly(DMAEMA-NVP)-b-PEG-galactose as an in vitro
gene delivery vector for hepatocytes

AUTHOR(S): Lim, Dong Woo; Park, Tae Gwan

CORPORATE SOURCE: Department of Biological Sciences, Korea Advanced
Institute of Science and Technology, Taejeon,
305-701, S. KoreaSOURCE: Polymer Preprints (American Chemical Society,
Division of Polymer Chemistry) (2000), 41(1),
1008-1009

CODEN: ACPPAY; ISSN: 0032-3934

PUBLISHER: American Chemical Society, Division of Polymer
Chemistry

DOCUMENT TYPE: Journal

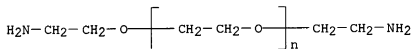
LANGUAGE: English

AB 2-(Dimethylamino)ethyl methacrylate-N-vinylpyrrolidone copolymer was
prepd, carboxy-terminated, activated with H-hydroxysuccinimide, and
then treated with PEG bisamine and reductively coupled with lactose to

Searcher : Shears 571-272-2528

give a galactose moiety on the amino terminal end of PEG. The nano-sized complexes having slightly neg. surface charge were then coated with the cationic, endosomal disruptive peptide, KALA, for efficient receptor mediated endocytosis as well as enhanced endosomal membrane disruptive activity. Cell **transfection** efficiencies were evaluated by using HepG2 cells.

IT 24991-53-SDP, reaction products with 2-(dimethylamino)ethyl methacrylate-N-vinylpyrrolidone copolymer, galactose-terminated
 RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (poly(DMAEMA-NVP)-B-PEG-galactose as an in vitro gene delivery vector for hepatocytes)
 RN 24991-53-5 CAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -(2-aminoethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2000:161238 CAPLUS

DOCUMENT NUMBER: 132:204639

TITLE: Novel polycationic lipids and method for delivering negatively charged macromolecules to cells

INVENTOR(S): Haces, Alberto

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2000012454 | A1 | 20000309 | WO 1999-US19629 | 19990827 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 9955881 | A1 | 20000321 | AU 1999-55881 | 19990827 |
| PRIORITY APPLN. INFO.: | | | US 1998-98073P | P 19980827 |
| | | | WO 1999-US19629 | W 19990827 |

OTHER SOURCE(S): MARPAT 132:204639

AB A cationic lipid for **transfection** of macromols. in which the lipid has a polyether or glyceryl backbone, which lipids can be contained in a liposome to effectively **transfect** a variety of cell types and improve the efficiency of **transfection**, are disclosed. Compns. containing said lipids and methods of using the same are also disclosed. Thus, a number of lipids of the invention containing glyceryl as well as triethylene glycol backbones were synthesized. Liposomes containing these lipids were successfully employed in **transfection** of a variety of cell types and, in several cases, **transfection** rates of 80-90% were observed

IT **929-59-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (novel polycationic lipids and method for delivering neg. charged macromols. to cells)

RN 929-59-9 CAPLUS

CN Ethanamine, 2,2'-[1,2-ethanediylbis(oxy)]bis- (9CI) (CA INDEX NAME)

$$\text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{O}-\text{CH}_2-\text{CH}_2-\text{O}-\text{CH}_2-\text{CH}_2-\text{NH}_2$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 FILE 'CAOLD' ENTERED AT 15:11:51 ON 12 APR 2005
 4 S L10

L13 ANSWER 1 OF 4 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA62:10340a CAOLD
 TI bis(β-aminoethyl) ether of ethylene glycol
 AU Mogilevskii, M. Yu.; Kosheleva, N. I.
 DT Patent

| PATENT NO. | KIND | DATE |
|------------|------|------|
| SU 166321 | | |

PI SU 166321
 IT **929-59-9**

L13 ANSWER 2 OF 4 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA60:15718c CAOLD
 TI effect of temperature on pK values of organic bases
 AU Perrin, Douglas B.
 IT 88-21-1 115-69-5 371-40-4 503-29-7 616-29-5 694-83-7
929-59-9 1137-41-3 3748-84-3 6304-18-3 13534-98-0
 84539-35-5 84539-38-8

L13 ANSWER 3 OF 4 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA55:25763c CAOLD
 TI β-aminoethyl ethers
 PA Geigy, J. R., A.-G.
 DT Patent

| PATENT NO. | KIND | DATE |
|------------|------|------|
| GB 863242 | | |
| CH 368814 | | |

PI GB 863242
 CH 368814
 IT **929-59-9** 60792-79-2

Searcher : Shears 571-272-2528

L13 ANSWER 4 OF 4 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA53:15741e CAOLD
 TI coordination compds. of metal ions with amines containing O
 AU Lotz, John R.; Block, B. P.; Fernelius, W. C.
 IT 109-85-3 929-59-9 2752-17-2 24304-84-5 98026-26-7
 101787-28-4

FILE 'USPATFULL' ENTERED AT 15:12:13 ON 12 APR 2005

L14 338 S L10
 L15 22 S L14 AND TRANSFECT?

L15 ANSWER 1 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2005:31559 USPATFULL
 TITLE: Taxane prodrugs
 INVENTOR(S): Ekwuribe, Nnochiri N., Cary, NC, UNITED STATES
 Price, Christopher H., Chapel Hill, NC, UNITED STATES
 Bartley, Gary S., Florence, SC, UNITED STATES

| | NUMBER | KIND | DATE |
|--|---|------|---------------|
| PATENT INFORMATION: | US 2005026996 | A1 | 20050203 |
| APPLICATION INFO.: | US 2004-870505 | A1 | 20040617 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2003-395548, filed on 24 Mar 2003, PENDING Continuation of Ser. No. US 2001-802739, filed on 9 Mar 2001, GRANTED, Pat. No. US 6541508 Continuation-in-part of Ser. No. US 1999-476974, filed on 31 Dec 1999, GRANTED, Pat. No. US 6380405 | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | APPLICATION | | |
| LEGAL REPRESENTATIVE: | MOORE & VAN ALLEN PLLC, P.O. BOX 13706, Research Triangle Park, NC, 27709 | | |
| NUMBER OF CLAIMS: | 30 | | |
| EXEMPLARY CLAIM: | CLM-01-28 | | |
| NUMBER OF DRAWINGS: | 4 Drawing Page(s) | | |
| LINE COUNT: | 1426 | | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | | |
| AB | Taxane prodrugs comprise a taxane joined by a hydrolyzable bond to one or more oligomers that comprise a polyethylene glycol moiety. The oligomer preferably further comprises a salt-forming moiety. | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 2 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2005:4932 USPATFULL
 TITLE: Bivalent inhibitors of Glutathione-S-Transferases
 INVENTOR(S): Lyon, Robert P., Sammamish, WA, UNITED STATES
 Atkins, William M., Seattle, WA, UNITED STATES
 Maeda, Dean Y., Auburn, WA, UNITED STATES
 Zebala, John A., Sammamish, WA, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005004038 | A1 | 20050106 |
| APPLICATION INFO.: | US 2004-878732 | A1 | 20040628 (10) |

| NUMBER | DATE |
|--------|-------|
| ----- | ----- |

PRIORITY INFORMATION: US 2003-483320P 20030627 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: JOHN ZEBALA, PRESIDENT, SYNTRIX BIOCHIP, INC, 215
 CLAY STREET NW, SUITE B-5, AUBURN W, WA, 98001

NUMBER OF CLAIMS: 40
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2277

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Bivalent inhibitors having affinity for one or more dimeric GST isozymes are provided. The bivalent inhibitors comprise two ligand domains connected by a molecular linker, wherein the ligand domains have affinity for one or more monomers in the one or more dimeric GST isozymes. The ligand domains are separated by a distance ranging from about 5 to about 100 Å. The bivalent inhibitors of the invention demonstrate greatly improved affinity for GST isozymes. In a specific embodiment, the bivalent inhibitors of the invention further provide affinity for substantially one GST isozyme and for substantially one GST class. The bivalent inhibitors of the invention have numerous uses that include the treatment of drug-resistant cancer, malaria, and stimulation of hematopoiesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 3 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2005:4920 USPATFULL

TITLE: Methods of treating diseases responsive to induction of Apoptosis and screening assays
 INVENTOR(S): Kasibhatla, Shailaja, San Diego, CA, UNITED STATES
 Cai, Sui Xiong, San Diego, CA, UNITED STATES
 Tseng, Ben, San Diego, CA, UNITED STATES
 Jessen, Katayoun Alavi, San Diego, CA, UNITED STATES
 English, Nicole Marion, San Diego, CA, UNITED STATES

Maliartchouk, Serguei, San Diego, CA, UNITED STATES
 Jiang, Songchun, San Diego, CA, UNITED STATES
 Sirisoma, Nilantha Sudath, San Diego, CA, UNITED STATES
 Zhang, Han-Zhong, San Diego, CA, UNITED STATES
 Kuemmerle, Jared, Del Mar, CA, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005004026 | A1 | 20050106 |
| APPLICATION INFO.: | US 2004-826909 | A1 | 20040419 (10) |

| | NUMBER | DATE |
|-----------------------|-----------------|---------------|
| PRIORITY INFORMATION: | US 2003-463649P | 20030418 (60) |
| | US 2003-463662P | 20030418 (60) |
| | US 2003-484749P | 20030707 (60) |
| | US 2003-484750P | 20030707 (60) |
| | US 2003-532665P | 20031229 (60) |

DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: STERNE, KESSLER, GOLDSTEIN & FOX PLLC, 1100 NEW
 YORK AVENUE, N.W., WASHINGTON, DC, 20005
 NUMBER OF CLAIMS: 46

Searcher : Shears 571-272-2528

EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 4 Drawing Page(s)
 LINE COUNT: 8805
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention pertains to a method of treating, preventing or ameliorating a disease responsive to induction of the caspase cascade in an animal, comprising administering to the animal a compound which binds specifically to one or more Apoptosis Inducing Proteins (AIPs). AIPs include Transferrin Receptor Related Apoptosis Inducing Proteins (TRRAIPs), Clathrin Heavy Chain Related Apoptosis Inducing Proteins (CHCRAIPs), IQ motif containing GTPase Activating Protein Related Apoptosis Inducing Proteins (IQGAPRAIPs), and Heat Shock Protein Related Apoptosis Inducing Proteins (HSPRAIPs). The present invention also relates to screening methods useful for drug discovery of apoptosis inducing compounds. In particular, the screening methodology relates to using AIPs as a target for the discovery of apoptosis activators useful as anticancer agents. The screening methods of the present invention can employ homogenous or heterogeneous binding assays using purified or partially purified AIPs; or whole cell assays using cells with altered levels of one or more AIPs. The invention also contemplates use of gambogic acid or GA-related compounds which bind AIPs and can accordingly be used to raise antibodies useful for drug discovery. Alternatively, labeled GA is used for competitive binding assays for drug discovery. Such assays afford high throughput screening of chemical libraries for apoptosis activators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 4 OF 22 USPATFULL ON STN
 ACCESSION NUMBER: 2004:335601 USPATFULL
 TITLE: Ligand for vascular endothelial growth factor receptor
 INVENTOR(S): Tchistiakova, Lioudmila, Laval, CANADA
 Li, Shengmin, Laval, CANADA
 Pietrzynski, Grzegorz, Montreal, CANADA
 Alakhov, Valery, Baie d'Urfe, CANADA

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 2004266694 | A1 | 20041230 |
| APPLICATION INFO.: | US 2004-784589 | A1 | 20040223 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2001-775743, filed on 2 Feb 2001, GRANTED, Pat. No. US 6733755 | | |

| | NUMBER | DATE |
|--|--|---------------|
| PRIORITY INFORMATION: | US 2000-180568P | 20000204 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | GIBBONS, DEL DEO, DOLAN, GRIFFINGER & VECCHIONE, 1 RIVERFRONT PLAZA, NEWARK, NJ, 07102-5497 | |
| NUMBER OF CLAIMS: | 7 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 3486 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | The present invention relates to compositions comprised of a peptide ligand or derivatives thereof that are capable of specific binding to the high affinity receptor-1 of vascular endothelial growth | |

Searcher : Shears 571-272-2528

factor (VEGF) and structure similar receptors. The invention further provides a peptide ligand or derivatives thereof that are capable of inhibiting angiogenesis induced by VEGF. The present invention also provides a method for treatment or diagnosis of disease associated with angiogenesis in a patient in need of therapy comprising administering to the patient an effective amount of the pharmaceutical composition of the present invention and a pharmaceutical acceptable carrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 5 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2004:320553 USPATFULL
 TITLE: Drug-oligomer conjugates
 INVENTOR(S): Ekwuribe, Nnochiri N., Cary, NC, UNITED STATES
 Dyakonov, Tatyana, Durham, NC, UNITED STATES
 Price, Christopher H., Chapel Hill, NC, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2004253206 | A1 | 20041216 |
| APPLICATION INFO.: | US 2004-811760 | A1 | 20040329 (10) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1999-474915, filed on 31 Dec 1999, GRANTED, Pat. No. US 6713454 | | |

| | NUMBER | DATE |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 1999-153649P | 19990913 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | MYERS BIGEL SIBLEY & SAJOVEC, PO BOX 37428, RALEIGH, NC, 27627 | |
| NUMBER OF CLAIMS: | 28 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 3 Drawing Page(s) | |
| LINE COUNT: | 2166 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Drug-oligomer conjugates and pharmaceutical compositions prepared therefrom. Methods of making and using the drug-oligomer conjugates and pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 6 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2004:299187 USPATFULL
 TITLE: Novel encoding method for "one-bead one-compound" combinatorial libraries
 INVENTOR(S): Lam, Kit S., Davis, CA, UNITED STATES
 Song, Aimin, Davis, CA, UNITED STATES
 Lebrilla, Carlito B., Davis, CA, UNITED STATES
 Zhang, Jinhua, Davis, CA, UNITED STATES
 PATENT ASSIGNEE(S): The Regents of the University of California, Oakland, CA, UNITED STATES (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004235054 | A1 | 20041125 |
| APPLICATION INFO.: | US 2004-811331 | A1 | 20040325 (10) |

Searcher : Shears 571-272-2528

| | NUMBER | DATE |
|--|---|---------------|
| PRIORITY INFORMATION: | US 2003-458470P | 20030328 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834 | |
| NUMBER OF CLAIMS: | 28 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 5 Drawing Page(s) | |
| LINE COUNT: | 2687 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | The present invention provides a library of compounds, wherein each compound is encoded by several coding building blocks that are each separately attached to a solid support via a cleavable linker. Following screening of the compounds, the coding tags can be cleaved, and then characterized by mass spectrometry. | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 7 OF 22 USPTATFULL on STN

ACCESSION NUMBER: 2004:159410 USPTATFULL

TITLE: Conjugates comprised of polymer and HIV gp41-derived peptides and their use in therapy

INVENTOR(S): Bray, Brian, Graham, NC, UNITED STATES
Kang, Myung-Chol, Chapel Hill, NC, UNITED STATES
Tvermoes, Nicolai, Durham, NC, UNITED STATES
Kinder, Daniel, Durham, NC, UNITED STATES
Lackey, John William, Hillsborough, NC, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004122214 | A1 | 20040624 |
| APPLICATION INFO.: | US 2003-671282 | A1 | 20030924 (10) |

| | NUMBER | DATE |
|--|---|---------------|
| PRIORITY INFORMATION: | US 2002-414439P | 20020927 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | Trimeris, Inc., Suite 300, 3518 Westgate Drive, Durham, NC, 27707 | |
| NUMBER OF CLAIMS: | 30 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 6 Drawing Page(s) | |
| LINE COUNT: | 2299 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | Provided are conjugates comprising a polymer having operably bound thereto no less than two molecules of synthetic peptide derived from HIV gp41; methods of using these conjugates to inhibit transmission of HIV to a target cell by adding an amount of effective to inhibit infection of the cell by the virus; and methods of producing the conjugates by operably binding each molecule of synthetic peptide, via a reactive functionality, to the polymer. | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Searcher : Shears 571-272-2528

L15 ANSWER 8 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2004:101725 USPATFULL
 TITLE: Cyclodextrin-based polymers for therapeutics delivery
 INVENTOR(S): Cheng, Jianjun, Arcadia, CA, UNITED STATES
 Davis, Mark E., Pasadena, CA, UNITED STATES
 Khin, Kay T., San Gabriel, CA, UNITED STATES
 PATENT ASSIGNEE(S): Insert Therapeutics, Inc., Pasadena, CA, UNITED STATES (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004077595 | A1 | 20040422 |
| APPLICATION INFO.: | US 2003-656838 | A1 | 20030905 (10) |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 2002-408855P | 20020906 (60) |
| | US 2002-422830P | 20021031 (60) |
| | US 2003-451998P | 20030304 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | ROPES & GRAY LLP, ONE INTERNATIONAL PLACE, BOSTON, MA, 02110-2624 | |
| NUMBER OF CLAIMS: | 35 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 12 Drawing Page(s) | |
| LINE COUNT: | 4117 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel compositions of therapeutic cyclodextrin containing polymeric compounds designed as a carrier for small molecule therapeutics delivery and pharmaceutical compositions thereof. These cyclodextrin-containing polymers improve drug stability and solubility, and reduce toxicity of the small molecule therapeutic when used in vivo. Furthermore, by selecting from a variety of linker groups and targeting ligands the polymers present methods for controlled delivery of the therapeutic agents. The invention also relates to methods of treating subjects with the therapeutic compositions described herein. The invention further relates to methods for conducting pharmaceutical business comprising manufacturing, licensing, or distributing kits containing or relating to the polymeric compounds described herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 9 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2004:7993 USPATFULL
 TITLE: Synthetic multimerizing agents
 INVENTOR(S): Holt, Dennis A., Royersford, PA, UNITED STATES
 Keenan, Terence P., Cambridge, MA, UNITED STATES
 Guo, Tao, Dayton, NJ, UNITED STATES
 Laborde, Edgardo, Forest City, CA, UNITED STATES
 Yang, Wu, Princeton, NJ, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004006233 | A1 | 20040108 |
| APPLICATION INFO.: | US 2003-461705 | A1 | 20030613 (10) |

Searcher : Shears 571-272-2528

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-86770, filed on 28 Feb 2002, PENDING Continuation of Ser. No. US 2000-690581, filed on 17 Oct 2000, ABANDONED
Continuation of Ser. No. US 1997-808274, filed on 28 Feb 1997, GRANTED, Pat. No. US 6150527
Continuation of Ser. No. US 1995-479694, filed on 7 Jun 1995, ABANDONED Continuation-in-part of Ser. No. US 1994-292598, filed on 18 Aug 1994, ABANDONED

| | NUMBER | DATE |
|--|--|---------------|
| PRIORITY INFORMATION: | US 1996-33035P | 19961210 (60) |
| | US 1996-24861P | 19960828 (60) |
| | US 1996-12432P | 19960228 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | ARIAD Gene Therapeutics, Inc., 26 Landsdowne Street, Cambridge, MA, 02139 | |
| NUMBER OF CLAIMS: | 42 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 3684 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | New compounds are disclosed for multimerizing immunophilins and proteins containing immunophilin or immunophilin-related domains. The compounds are of the formula | |

M-L-Q

where M is a synthetic ligand for an FKBP protein

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 10 OF 22 USPATFULL on STN
ACCESSION NUMBER: 2003:289202 USPATFULL
TITLE: Taxane prodrugs
INVENTOR(S): Ekwuribe, Nnochiri N., Cary, NC, UNITED STATES
Price, Christopher H., Chapel Hill, NC, UNITED STATES
Bartley, Gary S., Florence, SC, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2003203961 | A1 | 20031030 |
| APPLICATION INFO.: | US 2003-395548 | A1 | 20030324 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2001-802739, filed on 9 Mar 2001, GRANTED, Pat. No. US 6541508 Continuation-in-part of Ser. No. US 1999-476974, filed on 31 Dec 1999, GRANTED, Pat. No. US 6380405 | | |

| | NUMBER | DATE |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 1999-153579P | 19990913 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | MYERS BIGEL SIBLEY & SAJOVEC, PO BOX 37428, RALEIGH, NC, 27627 | |
| NUMBER OF CLAIMS: | 28 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 4 Drawing Page(s) | |

Searcher : Shears 571-272-2528

LINE COUNT: 1388

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Taxane prodrugs comprise a taxane joined by a hydrolyzable bond to one or more oligomers that comprise a polyethylene glycol moiety. The oligomer preferably further comprises a salt-forming moiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 11 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2003:225214 USPATFULL

TITLE: Novel methods of imaging and treatment with targeted compositions

INVENTOR(S): Unger, Evan C., Tucson, AZ, UNITED STATES
Wu, Yunqiu, Tucson, AZ, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2003157025 | A1 | 20030821 |
| APPLICATION INFO.: | US 2003-341167 | A1 | 20030113 (10) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1999-243640, filed on 3 Feb 1999, GRANTED, Pat. No. US 6521211 Division of Ser. No. US 1998-218660, filed on 22 Dec 1998, PENDING Continuation-in-part of Ser. No. US 1996-660032, filed on 6 Jun 1996, ABANDONED Continuation-in-part of Ser. No. US 1996-640464, filed on 1 May 1996, ABANDONED Continuation-in-part of Ser. No. US 1995-497684, filed on 7 Jun 1995, ABANDONED | | |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 1998-73913P | 19980206 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | WOODCOCK WASHBURN LLP, ONE LIBERTY PLACE, 46TH FLOOR, 1650 MARKET STREET, PHILADELPHIA, PA, 19103 | |
| NUMBER OF CLAIMS: | 72 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 12 Drawing Page(s) | |
| LINE COUNT: | 7075 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel ultrasound methods comprising administering to a patient a targeted vesicle composition which comprises vesicles comprising a lipid, protein or polymer, encapsulating a gas, in combination with a targeting ligand, and scanning the patient using ultrasound. The scanning may comprise exposing the patient to a first type of ultrasound energy and then interrogating the patient using a second type of ultrasound energy. The targeting ligand preferably targets tissues, cells or receptors, including myocardial cells, endothelial cells, epithelial cells, tumor cells and the glycoprotein GPIIb/IIIa receptor. The methods may be used to detect a thrombus, enhancement of an old or echogenic thrombus, low concentrations of vesicles and vesicles targeted to tissues, cells or receptors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 12 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2003:187436 USPATFULL

TITLE: Targeted multivalent macromolecules

INVENTOR(S): Wartchow, Charles Aaron, San Francisco, CA, UNITED

Searcher : Shears 571-272-2528

STATES
 DeChene, Neal Edward, Morgan Hill, CA, UNITED STATES
 STATES
 Pease, John S., Los Altos, CA, UNITED STATES
 Shen, Zhimin, Palo Alto, CA, UNITED STATES
 Trulson, Julie, San Jose, CA, UNITED STATES
 Bednarski, Mark David, Los Altos, CA, UNITED STATES
 Danthi, S. Narasimhan, Mountain View, CA, UNITED STATES
 STATES
 Zhang, Michael, San Jose, CA, UNITED STATES
 Choi, Hoyul Steven, San Jose, CA, UNITED STATES
 PATENT ASSIGNEE(S): TARGESOME, INC. (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 2003129223 | Al | 20030710 |
| APPLICATION INFO.: | US 2002-158777 | Al | 20020530 (10) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 2001-976254, filed on 11 Oct 2001, PENDING | | |

| | NUMBER | DATE |
|--|--|---------------|
| PRIORITY INFORMATION: | US 2000-239684P | 20001011 (60) |
| | US 2001-309104P | 20010731 (60) |
| | US 2001-312435P | 20010815 (60) |
| | US 2001-294309P | 20010530 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | SWANSON & BRATSCHEUN L.L.C., 1745 SHEA CENTER DRIVE, SUITE 330, HIGHLANDS RANCH, CO, 80129 | |
| NUMBER OF CLAIMS: | 39 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 32 Drawing Page(s) | |
| LINE COUNT: | 3784 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | Targeted therapeutic agents, comprising a linking carrier, a therapeutic entity associated with the linking carrier, and at least one targeting entity are provided, as well as methods for their preparation and use. | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 13 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2003:100334 USPATFULL
 TITLE: Biological reagents and methods for determining the mechanism in the generation of beta-amyloid peptide
 INVENTOR(S): Audia, James E., Indianapolis, IN, UNITED STATES
 Hyslop, Paul A., Indianapolis, IN, UNITED STATES
 Nissen, Jeffrey S., Indianapolis, IN, UNITED STATES
 Thompson, Richard C., Frankfort, IN, UNITED STATES
 Tung, Jay S., Belmont, CA, UNITED STATES
 Tanner, Laura I., San Francisco, CA, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2003069445 | Al | 20030410 |
| APPLICATION INFO.: | US 2002-217459 | Al | 20020814 (10) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1999-408283, filed on 29 Sep 1999, GRANTED, Pat. No. US 6486350 | | |

Searcher : Shears 571-272-2528

| | NUMBER | DATE |
|--|---|---------------|
| PRIORITY INFORMATION: | US 1998-160082P | 19980930 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | Gerald F. Swiss, BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404 | |
| NUMBER OF CLAIMS: | 12 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 2200 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | Disclosed are biological reagents which comprise compounds that inhibit β -amyloid peptide release and/or its synthesis, and, accordingly, have utility in determining the cellular mechanism involved in the generation of β -amyloid peptide. | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 14 OF 22 USPATFULL on STN

| | | |
|-------------------|--|-----------|
| ACCESSION NUMBER: | 2003:99275 | USPATFULL |
| TITLE: | Multifunctional carrier for the delivery of a pharmacological agent or genetic material into a cell | |
| INVENTOR(S): | Li, Frank Q., Montgomery Village, MD, UNITED STATES Chu, Yong Liang, Rockville, MD, UNITED STATES Zhu, Shuren, Silver Spring, MD, UNITED STATES Qiu, Jian-Tai, Rockville, MD, UNITED STATES Lai, Wan-Ching, Rockville, MD, UNITED STATES | |

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2003068379 | A1 | 20030410 |
| APPLICATION INFO.: | US 2002-137355 | A1 | 20020503 (10) |

| | NUMBER | DATE |
|--|--|---------------|
| PRIORITY INFORMATION: | US 2001-310492P | 20010808 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | Supervisor, Patent Prosecution Services, PIPER RUDNICK LLP, 1200 Nineteenth Street, N.W., Washington, DC, 20036-2412 | |
| NUMBER OF CLAIMS: | 93 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 15 Drawing Page(s) | |
| LINE COUNT: | 1255 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |

AB The present invention provides a drug delivery vehicle that can improve the pharmacokinetics of pharmacological agents. The invention relates to a multifunctional carrier capable of delivering a carried material such as a pharmacological agent or genetic material to a recipient. The multifunctional carrier includes a multifunctional core and a plurality of adduct molecules bonded thereto. The molecular carrier has surface functional groups which can be associated with a carried material. The carried material can be associated with the molecular carrier through covalent interactions or ionic interactions. The polyvalent core can be ethylene-diamine tetraacetic acid (EDTA) or succinic acid. The

Searcher : Shears 571-272-2528

invention also relates to methods for producing and using such molecules.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 15 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2003:51696 USPATFULL
 TITLE: Synthetic multimerizing agents
 INVENTOR(S): Holt, Dennis A., Royersford, PA, UNITED STATES
 Keenan, Terence P., Cambridge, MA, UNITED STATES
 Guo, Tao, Dayton, NJ, UNITED STATES
 Laborde, Edgardo, Forest City, CA, UNITED STATES
 Yang, Wu, Princeton, NJ, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2003036654 | A1 | 20030220 |
| APPLICATION INFO.: | US 2002-86770 | A1 | 20020228 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2000-690581, filed on 17 Oct 2000, ABANDONED Continuation of Ser. No. US 1997-808274, filed on 28 Feb 1997, GRANTED, Pat. No. US 6150527 Continuation of Ser. No. US 1997-793016, filed on 1 Dec 1997, ABANDONED Continuation of Ser. No. US 1995-479694, filed on 7 Jun 1995, ABANDONED Continuation-in-part of Ser. No. US 1994-292598, filed on 18 Aug 1994, ABANDONED | | |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 1996-33035P | 19961210 (60) |
| | US 1996-24861P | 19960828 (60) |
| | US 1996-12432P | 19960228 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | ARIAD Gene Therapeutics, Inc., 26 Landsdowne Street, Cambridge, MA, 02139 | |
| NUMBER OF CLAIMS: | 1 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 3610 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB New compounds are disclosed for multimerizing immunophilins and proteins containing immunophilin or immunophilin-related domains. The compounds are of the formula

M--L--Q

where M is a synthetic ligand for an FKBP protein

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 16 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2003:37196 USPATFULL
 TITLE: Combinations for introducing nucleic acids into cells
 INVENTOR(S): Plank, Christian, Seefeld, GERMANY, FEDERAL REPUBLIC OF
 Stemberger, Axel, Neubiberg, GERMANY, FEDERAL REPUBLIC OF
 Scherer, Franz, Lenggries, GERMANY, FEDERAL

Searcher : Shears 571-272-2528

REPUBLIC OF

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 2003026840 | A1 | 20030206 |
| APPLICATION INFO.: | US 2001-23317 | A1 | 20011217 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. WO 2000-EP5778, filed on 21 Jun 2000, UNKNOWN | | |

| | NUMBER | DATE |
|-----------------------|---|----------|
| PRIORITY INFORMATION: | EP 1999-112260 | 19990625 |
| | DE 1999-DE19956502 | 19991124 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | FISH & NEAVE, 1251 AVENUE OF THE AMERICAS, 50TH FLOOR, NEW YORK, NY, 10020-1105 | |
| NUMBER OF CLAIMS: | 15 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 18 Drawing Page(s) | |
| LINE COUNT: | 2354 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Combinations of a carrier and a complex consisting of a nucleic acid molecule and a copolymer are described, wherein the copolymer consists of an amphiphilic polymer, preferably polyethylene glycol, and a charged effector molecule, in particular a peptide or peptide derivative, as well as their use for the transfer of nucleic acid molecules into cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 17 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2002:311059 USPATFULL
 TITLE: Biological reagents and methods for determining the mechanism in the generation of β -amyloid peptide
 INVENTOR(S): Audia, James E., Indianapolis, IN, United States
 Hyslop, Paul A., Indianapolis, IN, United States
 Nissen, Jeffrey S., Indianapolis, IN, United States
 Thompson, Richard C., Frankfort, IN, United States
 Tung, Jay S., Belmont, CA, United States
 Tanner, Laura I., San Francisco, CA, United States
 PATENT ASSIGNEE(S): Elan Pharmaceuticals Inc., So. San Francisco, CA, United States (U.S. corporation)
 Eli Lilly & Company, Indianapolis, IN, United States (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 6486350 | B1 | 20021126 |
| APPLICATION INFO.: | US 1999-408283 | | 19990929 (9) |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 1998-160082P | 19980930 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | GRANTED | |
| PRIMARY EXAMINER: | Kumar, Shailendra | |
| LEGAL REPRESENTATIVE: | Burns, Doane, Doane, Swecker & Mathis LLP | |

Searcher : Shears 571-272-2528

NUMBER OF CLAIMS: 2
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
 LINE COUNT: 2017

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are biological reagents which comprise compounds that inhibit β -amyloid peptide release and/or its synthesis, and, accordingly, have utility in determining the cellular mechanism involved in the generation of β -amyloid peptide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 18 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2002:288367 USPATFULL
 TITLE: Synthetic multimerizing agents
 INVENTOR(S): Holt, Dennis A., Royersford, PA, UNITED STATES
 Keenan, Terence P., Cambridge, MA, UNITED STATES
 Guo, Tao, Dayton, NJ, UNITED STATES
 Laborde, Edgardo, Forest City, CA, UNITED STATES
 Yang, Wu, Princeton, NJ, UNITED STATES
 PATENT ASSIGNEE(S): ARIAD Gene Therapeutics, Inc. (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2002161240 | A1 | 20021031 |
| APPLICATION INFO.: | US 2002-86506 | A1 | 20020228 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2000-690797, filed on 17 Oct 2000, ABANDONED Continuation of Ser. No. US 1997-808276, filed on 28 Feb 1997, GRANTED, Pat. No. US 6133456 Continuation of Ser. No. US 1997-793016, filed on 1 Dec 1997, ABANDONED Continuation of Ser. No. US 1995-479694, filed on 7 Jun 1995, ABANDONED Continuation-in-part of Ser. No. US 1994-292598, filed on 18 Aug 1994, ABANDONED | | |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 1996-33035P | 19961210 (60) |
| | US 1996-24861P | 19960828 (60) |
| | US 1996-12432P | 19960228 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | ARIAD Gene Therapeutics, Inc., 26 Landsdowne Street, Cambridge, MA, 02139 | |

NUMBER OF CLAIMS: 2
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2766
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB New compounds are disclosed for multimerizing immunophilins and proteins containing immunophilin or immunophilin-related domains. The compounds are of the formula

M.sup.1--L--M.sup.2

where M.sup.1 and M.sup.2 are independently moieties of the formula;
 ##STR1##

in which B.sup.1, B.sup.2, B.sup.3, R.sup.1, R.sup.2, n, W, X, and Y are as defined

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 19 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2002:112878 USPATFULL
 TITLE: Ligand for vascular endothelial growth factor
 receptor
 INVENTOR(S): Tchistiakova, Lioudmila, Laval, CANADA
 Li, Shengmin, Laval, CANADA
 Pietrzynski, Grzegorz, Montreal, CANADA
 Alakhov, Valery, Baie d'Urfe, CANADA

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 2002058619 | A1 | 20020516 |
| | US 6733755 | B2 | 20040511 |
| APPLICATION INFO.: | US 2001-775743 | A1 | 20010202 (9) |

| | NUMBER | DATE |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 2000-180568P | 20000204 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | GIBBONS, DEL DEO, DOLAN, GRIFFINGER & VECCHIONE, 1 RIVERFRONT PLAZA, NEWARK, NJ, 07102-5497 | |
| NUMBER OF CLAIMS: | 24 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 3407 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compositions comprised of a peptide ligand or derivatives thereof that are capable of specific binding to the high affinity receptor-1 of vascular endothelial growth factor (VEGF) and structure similar receptors. The invention further provides a peptide ligand or derivatives thereof that are capable of inhibiting angiogenesis induced by VEGF. The present invention also provides a method for treatment or diagnosis of disease associated with angiogenesis in a patient in need of therapy comprising administering to the patient an effective amount of the pharmaceutical composition of the present invention and a pharmaceutical acceptable carrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 20 OF 22 USPATFULL on STN
 ACCESSION NUMBER: 2002:22648 USPATFULL
 TITLE: Taxane prodrugs
 INVENTOR(S): Ekwuribe, Nnochiri N., Cary, NC, UNITED STATES
 Price, Christopher H., Chapel Hill, NC, UNITED STATES
 Bartley, Gary S., Florence, SC, UNITED STATES

| | NUMBER | KIND | DATE |
|-----------------------|---|------|--------------|
| PATENT INFORMATION: | US 2002013484 | A1 | 20020131 |
| | US 6541508 | B2 | 20030401 |
| APPLICATION INFO.: | US 2001-802739 | A1 | 20010309 (9) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1999-476974, filed on 31 Dec 1999, PENDING | | |

Searcher : Shears 571-272-2528

| | NUMBER | DATE |
|--|---|---------------|
| PRIORITY INFORMATION: | US 1999-153579P | 19990913 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | MYERS BIGEL SIBLEY & SAJOVEC, PO BOX 37428, RALEIGH, NC, 27627 | |
| NUMBER OF CLAIMS: | 28 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 4 Drawing Page(s) | |
| LINE COUNT: | 1384 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB Taxane prodrugs comprise a taxane joined by a hydrolyzable bond to one or more oligomers that comprise a polyethylene glycol moiety. The oligomer preferably further comprises a salt-forming moiety. | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 21 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2000:157576 USPATFULL

TITLE: Synthetic multimerizing agents

INVENTOR(S): Holt, Dennis A., Stow, MA, United States
Keenan, Terence P., Cambridge, MA, United States
Guo, Tao, Somerset, NJ, United States
Laborde, Edgardo, Foster City, CA, United States
Yang, Wu, Chestnut Hill, MA, United States

PATENT ASSIGNEE(S): Ariad Pharmaceuticals, Inc., Cambridge, MA, United States (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|------|--------------|
| PATENT INFORMATION: | US 6150527 | | 20001121 |
| APPLICATION INFO.: | US 1997-808274 | | 19970228 (8) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1995-793016, filed on 18 Aug 1995 which is a continuation-in-part of Ser. No. US 1995-479694, filed on 7 Jun 1995 which is a continuation-in-part of Ser. No. US 1994-292598, filed on 18 Aug 1994, now abandoned | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Shah, Mukund J. | | |
| ASSISTANT EXAMINER: | Coleman, Brenda | | |
| LEGAL REPRESENTATIVE: | Bernstein, David | | |
| NUMBER OF CLAIMS: | 51 | | |
| EXEMPLARY CLAIMS: | 1 | | |
| LINE COUNT: | 3652 | | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | | |
| AB New compounds are disclosed for multimerizing immunophilins and proteins containing immunophilin or immunophilin-related domains. The compounds are of the formula | | | |

M-L-Q

where M is a synthetic ligand for an FKBP protein

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 22 OF 22 USPATFULL on STN

ACCESSION NUMBER: 2000:138540 USPATFULL
 TITLE: Synthetic multimerizing agents
 INVENTOR(S): Holt, Dennis A., Stow, MA, United States
 Keenan, Terence P., Cambridge, MA, United States
 Guo, Tao, Somerset, NJ, United States
 Laborde, Edgardo, Foster City, CA, United States
 Yang, Wu, Chestnut Hill, MA, United States
 PATENT ASSIGNEE(S): ARIAD Gene Therapeutics, Inc., Cambridge, MA,
 United States (U.S. corporation)

| | NUMBER | KIND | DATE |
|--|---|------|--------------|
| PATENT INFORMATION: | US 6133456 | | 20001017 |
| APPLICATION INFO.: | US 1997-808276 | | 19970228 (8) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1995-793016, filed on 18 Aug 1995, now abandoned And Ser. No. US 1995-479694, filed on 7 Jun 1995 which is a continuation-in-part of Ser. No. US 1994-292598, filed on 18 Aug 1994, now abandoned | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Shah, Mukund J. | | |
| ASSISTANT EXAMINER: | Coleman, Brenda | | |
| LEGAL REPRESENTATIVE: | Bernstein, David L., Hausdorff, Sharon F. | | |
| NUMBER OF CLAIMS: | 8 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 2733 | | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | | |
| AB | New compounds are disclosed for multimerizing immunophilins and proteins containing immunophilin or immunophilin-related domains. The compounds are of the formula | | |

M.sup.1 --L--M.sup.2

where M.sup.1 and M.sup.2 are independently moieties of the formula:
 ##STR1## in which B.sup.1, B.sup.2, B.sup.3, R.sup.1, R.sup.2, n, W,
 X and Y are as defined.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

(FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 15:12:55 ON 12 APR 2005)

L16 12 S L10
 L17 12 DUP REM L16 (0 DUPLICATES REMOVED)

L17 ANSWER 1 OF 12 MEDLINE ON STN
 ACCESSION NUMBER: 2003533901 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 14611219
 TITLE: Lipase-catalyzed kinetic resolution on solid-phase via
 a "capture and release" strategy.
 AUTHOR: Humphrey Cara E; Turner Nicholas J; Easson Morag A M;
 Flitsch Sabine L; Ulijn Rein V
 CORPORATE SOURCE: School of Chemistry, University of Edinburgh, King's
 Buildings, West Mains Road, Edinburgh, Scotland, UK.
 SOURCE: Journal of the American Chemical Society, (2003 Nov 19)
 125 (46) 13952-3.
 Journal code: 7503056. ISSN: 0002-7863,
 PUB. COUNTRY: United States
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English

Searcher : Shears 571-272-2528

FILE SEGMENT: Priority Journals
 ENTRY MONTH: 200402
 ENTRY DATE: Entered STN: 20031113
 Last Updated on STN: 20040214
 Entered Medline: 20040213

L17 ANSWER 2 OF 12 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:36792 BIOSIS
 DOCUMENT NUMBER: PREV200400037309
 TITLE: Structure-activity relationships of oligoguanidines: Influence of counterion, diamine, and average molecular weight on biocidal activities.
 AUTHOR(S): Albert, Martin [Reprint Author]; Feiertag, Petra; Hayn, Gertraud; Saf, Robert; Hoenig, Helmut [Reprint Author]
 CORPORATE SOURCE: Institute of Organic Chemistry, Graz University of Technology, Graz, Austria
 albert@orgc.tu-graz.ac.at; helmut.hoenig@tugraz.at
 SOURCE: Biomacromolecules, (November-December 2003) Vol. 4, No. 6, pp. 1811-1817. print.
 ISSN: 1525-7797 (ISSN print).

DOCUMENT TYPE: Article
 LANGUAGE: English
 ENTRY DATE: Entered STN: 7 Jan 2004
 Last Updated on STN: 7 Jan 2004

AB A series of different oligomeric guanidines was prepared by polycondensation of guanidinium salts and four different diamines under varying conditions. The antimicrobial activities were evaluated against two to four microorganisms. MALDI-TOF-MS was used to analyze the different oligomers. It was found that in each case three major product type series are dominating. These series are linear and terminated with one guanidine and one amino group (type A), two amino groups (type B), or two guanidine groups (type C), respectively. By using 1,2-bis(2-aminoethoxy)ethane as the amino component, a considerable amount of two additional product series, consisting of cyclic structures, was detected (type D and E). It turned out that an average molecular mass of about 800 Da is necessary for an efficient antimicrobial activity. Lower Mw's result in a rapid decrease of activity. By using guanidinium carbonate as the starting material, oligomers with low biocidal activity were obtained, which was caused by incorporation of urea groups during the polycondensation. The diamine determines the distance between two guanidinium groups. It was shown that both 1,2-bis(2-aminoethoxy)ethane and hexamethylenediamine give oligomers with high biocidal activity. By increasing the chain length of the diamine, the biocidal activity drops again.

L17 ANSWER 3 OF 12 MEDLINE on STN
 ACCESSION NUMBER: 2003281793 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 12809238
 TITLE: Improved biotransformations on charged PEGA supports.
 AUTHOR: Basso Alessandra; De Martin Luigi; Gardossi Lucia; Margetts Graham; Brazendale Ian; Bosma Annie Y; Ulijn Rein V; Flitsch Sabine L
 CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Università degli Studi, Piazzale Europa 1, 34127, Trieste, Italy.
 SOURCE: Chemical communications (Cambridge, England), (2003 Jun 7) (11) 1296-7.
 Journal code: 9610838. ISSN: 1359-7345.

Searcher : Shears 571-272-2528

PUB. COUNTRY: England: United Kingdom
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 200309
 ENTRY DATE: Entered STN: 20030618
 Last Updated on STN: 20030905
 Entered Medline: 20030904

- AB PEGA supports functionalised with permanent charges show superior swelling properties in aqueous media when compared to neutral PEGA; a novel positively charged PEGA resin significantly improves penicillin G amidase (PGA) catalysed biotransformation on solid support, by favouring accessibility of the negatively charged enzyme.

L17 ANSWER 4 OF 12 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:31078 BIOSIS
 DOCUMENT NUMBER: PREV200300031078
 TITLE: Syntheses of large-membered macrocycles having multiple hydrogen bonding moieties.
 AUTHOR(S): Shimakoshi, Hisashi; Kai, Takayuki; Aritome, Isao; Hisaeda, Yoshio [Reprint Author]
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, Graduate School of Engineering, Kyushu University, Fukuoka, Kyushu, 812-8581, Japan
 yhisatcm@mbx.nc.kyushu-u.ac.jp
 SOURCE: Tetrahedron Letters, (11 November 2002) Vol. 43, No. 46, pp. 8261-8264. print.
 CODEN: TELEAY. ISSN: 0040-4039.
 DOCUMENT TYPE: Article
 LANGUAGE: English
 ENTRY DATE: Entered STN: 8 Jan 2003
 Last Updated on STN: 11 Feb 2003

- AB New macrocyclic compounds have been synthesized by Schiff-base condensation reaction with methylenebis(4,4'-methyl-6,6'-salicylaldehyde) and 1,2-bis(2-aminoethoxy)ethane based on a high dilution method. (2+2), (3+3), and (4+4)-Cyclocondensed products were effectively isolated and characterized by 1H NMR and HR mass (FAB) spectroscopies as well as X-ray analyses. Reduction of the macrocycles with NaBH4 afforded the corresponding multi-amino, multi-phenolic macrocyclic compounds. The reduced molecules have low energy barriers for conformation change, which are estimated by variable-temperature (VT) 1H NMR study.

L17 ANSWER 5 OF 12 MEDLINE on STN

ACCESSION NUMBER: 2002269729 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 11985465
 TITLE: Solid-phase library synthesis, screening, and selection of tight-binding reduced peptide bond inhibitors of a recombinant Leishmania mexicana cysteine protease B.
 AUTHOR: St Hilaire Phaedria M; Alves Lira C; Herrera Fatima; Renil Manat; Sanderson Sanya J; Mottram Jeremy C; Coombs Graham H; Juliano Maria A; Juliano Luiz; Arevalo Jorge; Meldal Morten
 CORPORATE SOURCE: Department of Chemistry, Carlsberg Laboratory, Gamle Carlsberg Vej 10, DK-2500 Valby, Denmark.. pms@ccr.dk
 SOURCE: Journal of medicinal chemistry, (2002 May 9) 45 (10) 1971-82.
 Journal code: 9716531. ISSN: 0022-2623.

PUB. COUNTRY: United States
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 200205
 ENTRY DATE: Entered STN: 20020516
 Last Updated on STN: 20020602
 Entered Medline: 20020531

- AB A one-bead-two-compound inhibitor library was synthesized by the split-mix method for the identification of inhibitors of a recombinant cysteine protease from *Leishmania mexicana*, CPB2.8DeltaCTE. The inhibitor library was composed of octapeptides with a centrally located reduced bond introduced by reductive amination of the resin-bound amines with Fmoc amino aldehydes. The library was screened on solid phase, and less than 1% of the library contained active compounds. The inhibitors displayed great specificity in the subsites flanking the enzyme catalytic triad with Cha and Ile/Leu preferred in P(2), Phe in P(1), Cha and Ile/Leu in P(1)', and Ile/Leu in P(2)'. Some of the inhibitors were resynthesized, and the kinetics of inhibition were determined in solution-phase assays. Most of the inhibitors had micromolar $K(i)$ values, and a few inhibited the enzyme at nanomolar concentrations. One inhibitor, DKHF(CH(2)NH)LLVK ($K(i)$ = 1 microm), was tested for antiparasite efficacy and shown to affect parasite survival with an IC(50) of approximately 50 microm.

L17 ANSWER 6 OF 12 MEDLINE on STN
 ACCESSION NUMBER: 2002344028 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 12086837
 TITLE: A solid-supported phosphine-free ruthenium alkylidene for olefin metathesis in methanol and water.
 AUTHOR: Connon Stephen J; Blechert Siegfried
 CORPORATE SOURCE: Institut für Chemie, Technische Universität Berlin, Strasse des 17 Juni 135, Germany.
 SOURCE: Bioorganic & medicinal chemistry letters, (2002 Jul 22) 12 (14) 1873-6.
 Journal code: 9107377. ISSN: 0960-894X.
 PUB. COUNTRY: England: United Kingdom
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 200301
 ENTRY DATE: Entered STN: 20020628
 Last Updated on STN: 20030114
 Entered Medline: 20030113

- AB The synthesis and olefin metathesis activity in protic solvents of 7, a phosphine-free ruthenium alkylidene bound to a hydrophilic solid support are reported. This heterogeneous catalyst promotes relatively efficient ring closing- and cross-metathesis reactions in both methanol and water. The potential utility of homogeneous catalyst 2 for olefin metathesis in methanol is also demonstrated.

L17 ANSWER 7 OF 12 MEDLINE on STN
 ACCESSION NUMBER: 2001304416 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 11063415
 TITLE: Interpenetrating polymer networks of alginate and polyethylene glycol for encapsulation of islets of Langerhans.
 AUTHOR: Desai N P; Sojomihardjo A; Yao Z; Ron N; Soon-Shiong P
 CORPORATE SOURCE: American BioScience, Inc., Santa Monica, CA 90403, USA.

SOURCE: Journal of microencapsulation, (2000 Nov-Dec) 17 (6)
677-90.
Journal code: 8500513. ISSN: 0265-2048.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200105
ENTRY DATE: Entered STN: 20010604
Last Updated on STN: 20010604
Entered Medline: 20010531

- AB A mixture of alginate and polyethylene glycol acrylate was investigated as a system for the encapsulation of islets of Langerhans. This system showed dual crosslinkability: the alginate was ionically crosslinked by multivalent cations, and the PEG was covalently crosslinked by photoactivated free radical polymerization. The major advantage of the dually crosslinkable system was the chemical stability of the resultant gels due to the presence of covalent bonds that maintain the integrity of the gel as opposed to reversible ionic linkages that were the only mode of crosslinkage in previous generations of alginate-based encapsulation systems. The physical aspects of gelation of such alginate/PEG compositions were investigated. Diffusion of dextrans of known molecular weights through these gels was studied in order to shed light on the hydrogel porosity and permeability. In vitro viability and function tests demonstrated that these gels were biocompatible. Islets encapsulated in these systems were healthy and retained both viability and insulin secretory function.

L17 ANSWER 8 OF 12 MEDLINE on STN
ACCESSION NUMBER: 1999090349 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9873663
TITLE: Evaluation of resins for on-bead screening: a study of papain and chymotrypsin specificity using PEGA-bound combinatorial peptide libraries.
AUTHOR: Leon S; Quarrell R; Lowe G
CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford University, UK.
SOURCE: Biorganic & medicinal chemistry letters, (1998 Nov 3)
8 (21) 2997-3002.
Journal code: 9107377. ISSN: 0960-894X.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199901
ENTRY DATE: Entered STN: 19990209
Last Updated on STN: 19990209
Entered Medline: 19990126

- AB TentaGel, ArgoGel and PEGA resins were evaluated for on-bead biological screening, using a fluorescently-labelled peptide attached to each and assayed for papain activity. Peptide attached to PEGA was cleaved in near quantitative yield at the expected sites, whilst an identical sequence on TentaGel and ArgoGel beads was hydrolysed in very low yields and nonspecifically on ArgoGel. The compatibility of PEGA with enzymes was further demonstrated by the determination of subsite specificities of papain and chymotrypsin using PEGA-bound peptide libraries, which proved to be similar to those observed in free solution.

L17 ANSWER 9 OF 12 MEDLINE on STN
 ACCESSION NUMBER: 97433202 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 9288871
 TITLE: Characterization of modified alginate-poly-L-lysine microcapsules.
 AUTHOR: Lee C S; Chu I M
 CORPORATE SOURCE: Department of Chemical Engineering, National Tsing Hua University, Hsinchu, Taiwan, Republic of China.
 SOURCE: Artificial organs, (1997 Sep) 21 (9) 1002-6.
 Journal code: 7802778. ISSN: 0160-564X.
 PUB. COUNTRY: United States
 DOCUMENT TYPE: Journal, Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 199801
 ENTRY DATE: Entered STN: 19980122
 Last Updated on STN: 19980122
 Entered Medline: 19980108

AB Various modifications of alginate-poly-L-lysine microcapsules were made, such as the inclusion of polyethylenimine (PEI) or carboxyl methyl cellulose (CMC) in the core and the coating of bis(polyoxyethylene bis[amine]) (PEGA) onto the microcapsule membrane surface. A characterization of the modified microcapsules in terms of mechanical and mass transfer properties as well as their chemical composition was performed. The PEI treatment greatly enhanced the mechanical stability of the microcapsules, and this treatment did not affect the coating process of poly-L-lysine or PEGA. PEGA was found to be able to coat the microcapsules while the mass transfer property was similar to the poly-L-lysine coated ones.

L17 ANSWER 10 OF 12 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
 ACCESSION NUMBER: 1988:518379 BIOSIS
 DOCUMENT NUMBER: PREV198835126593; BR35:126593
 TITLE: STABLE EXPRESSION OF PUTATIVE RAT D-2 RECEPTOR IN TRANSFECTED MOUSE L CELLS.
 AUTHOR(S): KHURANA T S [Reprint author]; SEJOVIC P; O'MALLEY K; TODD R D
 CORPORATE SOURCE: DEP BIOL, CITY COLL NEW YORK, NEW YORK, NY 10031, USA
 SOURCE: Society for Neuroscience Abstracts, (1988) Vol. 14, No. 1, pp. 411.
 Meeting Info.: 18TH ANNUAL MEETING OF THE SOCIETY FOR NEUROSCIENCE, TORONTO, ONTARIO, CANADA, NOVEMBER 13-18, 1988. SOC NEUROSCI ABSTR.
 ISSN: 0190-5295.
 DOCUMENT TYPE: Conference; (Meeting)
 FILE SEGMENT: BR
 LANGUAGE: ENGLISH
 ENTRY DATE: Entered STN: 23 Nov 1988
 Last Updated on STN: 23 Nov 1988

L17 ANSWER 11 OF 12 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
 ACCESSION NUMBER: 1982:67151 BIOSIS
 DOCUMENT NUMBER: PREV198222067151; BR22:67151
 TITLE: PHOTOGRAPHY OF COMPARTMENTALIZED PLASTIC STRIPS TRAYS PLATES AND SLIDES USED FOR MICRO CULTURE AND SEROLOGICAL REACTIONS.
 AUTHOR(S): LE BEAU L J [Reprint author]

Searcher : Shears 571-272-2528

CORPORATE SOURCE: DEP PATHOL, UNIV ILLINOIS AT MED CENT, CHICAGO, ILL,
USA
SOURCE: Journal of Biological Photography, (1981) Vol. 49, No.
1, pp. 7-19.
ISSN: 0274-497X.
DOCUMENT TYPE: Article
FILE SEGMENT: BR
LANGUAGE: ENGLISH

L17 ANSWER 12 OF 12 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation
on STN
ACCESSION NUMBER: 1972:170158 BIOSIS
DOCUMENT NUMBER: PREV197254000152; BA54:152
TITLE: HYPER SENSITIVITY TO BACTERIAL ENZYMES PART 1 ATOPIC
HYPER SENSITIVITY INDUCED IN RHESUS MONKEYS.
AUTHOR(S): MALLEY A; BAECHER L
SOURCE: Journal of Allergy and Clinical Immunology, (1972) Vol.
49, No. 1, pp. 36-42.
CODEN: JACIBY. ISSN: 0091-6749.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: Unavailable

FILE 'REGISTRY' ENTERED AT 15:13:26 ON 12 APR 2005
L18 0 SEA ABB=ON PLU=ON ?"AMINOPROPYL")-DIAMINOBTANE"?/CNS
L19 0 SEA ABB=ON PLU=ON ?"HYDROXY-3-(N-AMINOPROPYL)"?/CNS
L20 0 SEA ABB=ON PLU=ON ?"HYDROXY-3-(N-SPERMINE)"?/CNS
- Named Comps.
FILE 'CAPLUS' ENTERED AT 15:14:53 ON 12 APR 2005
L21 68541 SEA ABB=ON PLU=ON 2(W)HYDROXY
L22 13506 SEA ABB=ON PLU=ON 3(1W)(AMINOPROPYL? OR AMINO(W)(PR OR
PROPYL?) OR SPERMINECARBOXAMIDO? OR SPERMINE(W)(CARBOXAMIDO
? OR CARBOX AMIDO?))
L23 90 SEA ABB=ON PLU=ON L21(S)L22
L24 6907 SEA ABB=ON PLU=ON DIPALMITOLYL? OR DISTEARYL? OR
DILAURLYL? OR DIMYRISTYL? OR DIPALMITY? OR DIOLEYL? OR
DI(W)(PALMITOLYL? OR STEARYL? OR LAURLYL? OR MYRISTYL? OR
PALMITY? OR OLEYL?)
L25 0 SEA ABB=ON PLU=ON L23(S)L24
L26 5920 SEA ABB=ON PLU=ON DIAMINOBTANE OR DI(W)(AMINOBTANE OR
AMINO(W)(ETHANE OR BUTANE) OR AMINOETHANE) OR DIAMINO(W)(E
THANE OR BUTANE) OR JEFFAMINE OR DIAMINOETHANE
L27 0 SEA ABB=ON PLU=ON L23(S)L26
(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
JICST-EPLUS, JAPIO, CBNB, CIN, CEN' ENTERED AT 15:21:20 ON 12 APR
2005)
L28 4 S L25
L29 3 S L27
L30 6 S L28 OR L29
L31 6 DUP REM L30 (0 DUPLICATES REMOVED)

L31 ANSWER 1 OF 6 WPIDS COPYRIGHT 2005 THE THOMSON CORP ON STN
ACCESSION NUMBER: 2004-668142 [65] WPIDS
DOC. NO. NON-CPI: N2004-529293
DOC. NO. CPI: C2004-238646
TITLE: Composite material in membrane form for use as filter
in size exclusion separation, comprises support
having pores, and macroporous cross-linked gel e.g.

Searcher : Shears 571-272-2528

poly(acrylamide), located in pores of support and filling pores of support.

DERWENT CLASS: A18 A28 A89 B04 D16 J01 J04 S03
 INVENTOR(S): CHILDS, R F; DEY, T K; FILIPE, C; GHOSH, R; KIM, M Y;
 KOMKOVA, E N; MIKA, A M; ZHOU, J; KIM, M
 PATENT ASSIGNEE(S): (CHIL-I) CHILDS R F; (DEYT-I) DEY T K; (FILI-I)
 FILIPE C; (GHOS-I) GHOSH R; (KIMM-I) KIM M Y;
 (KOMK-I) KOMKOVA E N; (MIKA-I) MIKA A M; (ZHOU-I)
 ZHOU J; (UYMC-N) UNIV MCMASTER
 COUNTRY COUNT: 108
 PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|--|------|----------|-----------|----|-----|
| WO 2004073843 | A1 | 20040902 | (200465)* | EN | 146 |
| RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT | | | | | |
| KE LS LU MC MW NZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ | | | | | |
| DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP | | | | | |
| KE KG KP KR KC LZ LK LR LS LT LU LV MA MD MG MK MN MW MX NZ NA | | | | | |
| NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR | | | | | |
| TT TZ UA UG US UZ VC VN YU ZA ZM ZW | | | | | |
| US 2004203149 | A1 | 20041014 | (200468) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|----------------|-----------------|----------|
| WO 2004073843 | A1 | WO 2004-CAL20 | 20040129 |
| US 2004203149 | A1 Provisional | US 2003-447730P | 20030219 |
| | | US 2004-769953 | 20040202 |

PRIORITY APPLN. INFO: US 2003-447730P 20030219; US
 2004-769953 20040202

AN 2004-668142 [65] WPIDS
 AB WO2004073843 A UPAB: 20041011

NOVELTY - A composite material, comprising a support having pores extending through the support, and a macroporous cross-linked gel located in the pores of the support and filling the pores of the support, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(a) a process for size-exclusion filtration which comprises passing a liquid to be filtered through a composite material;

(b) a process for Donnan exclusion separation which comprises passing a liquid containing a charged material through a composite material, which bears charges on the macroporous gel;

(c) a process for adsorbing a biological molecule or a biological ion from a liquid, which comprises passing a liquid containing the biological molecule or biological ion through a composite material, which bears binding sites that display specific interactions for the biomolecule on the macroporous gel;

(d) a process for solid phase chemical synthesis which comprises passing a liquid, having a first reactant through a composite material, where a second reactant is in a macropore of the composite material;

(e) preparation of a composite material, comprising introducing into the pores of the support a solution or suspension of one or more monomers and one or more cross-linking agents that can combine to form

a macroporous gel, or one or more cross-linkable polymers and one or more cross-linking agents that can combine to form a macroporous gel; and reacting the monomers and the cross-linking agent or the polymer and the cross-linking agent to form a macroporous cross-linked gel that fills the pores of the support member; and

(f) a process for chromatographic filtration of a solution or suspension containing two or more species of different size that are dissolved or suspended in a fluid, comprising passing the fluid through a composite material so that species of the smallest size pass through the composite material but larger species do not pass through the composite material, and changing an environmental condition to increase the size of the pores in the macroporous gel so that species of a next larger size pass through the composite material.

USE - The composite material, in the form of a membrane, is used as a filter in size exclusion separation or Donnan exclusion separation, and as support for synthesis or for cell growth.

ADVANTAGE - The macroporous gel provides a low resistance to hydraulic flow, enabling high flow rates to be achieved with low reductions in pressure across the composite material. The macroporous gel also provides the separating function of the composite material in chromatographic and filtration applications. The gel is a crosslinked polymer network swollen in a liquid medium. The swelling liquid prevents the polymer network from collapsing and the network, in turn, retains the liquid.

DESCRIPTION OF DRAWING(S) - The figure is an environmental scanning electron microscope image of a macroporous poly (APTAC) gel incorporated in a support in the form of a membrane.
Dwg.2/22

L31 ANSWER 2 OF 6 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-166900 [16] WPIDS

DOC. NO. NON-CPI: N2004-133013

DOC. NO. CPI: C2004-066078

TITLE: A combinatorial library useful for treating infection contains at least two 1,2-disubstituted-6-oxo-3-phenyl-piperidine-3-carboxamide compound.

DERWENT CLASS: A89 B02 B03 S03

INVENTOR(S): HEBERT, N; KAHL, J D

PATENT ASSIGNEE(S): (HEBE-I) HEBERT N; (KAHL-I) KAHL J D; (LION-N) LION BIOSCIENCE AG

COUNTRY COUNT: 102

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|--|------|----------|-----------|-----|----|
| US 2003171588 | A1 | 20030911 | (200416)* | 124 | |
| WO 2003076403 | A1 | 20030918 | (200416) | EN | |
| RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE | | | | | |
| LS LU MC MW NZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE | | | | | |
| DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG | | | | | |
| KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM | | | | | |
| PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ | | | | | |
| VC VN YU ZA ZM ZW | | | | | |
| AU 2003219997 | A1 | 20030922 | (200431) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-----------|------|-------------|--------------|
| Searcher | : | Shears | 571-272-2528 |

| | | | |
|---------------|----|----------------|----------|
| US 2003171588 | A1 | US 2002-91585 | 20020307 |
| WO 2003076403 | A1 | WO 2003-US6570 | 20030306 |
| AU 2003219997 | A1 | AU 2003-219997 | 20030306 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|-------------|---------------|
| AU 2003219997 | A1 Based on | WO 2003076403 |

PRIORITY APPLN. INFO: US 2002-91585 20020307

AN 2004-166900 [16] WPIIDS

AB US2003171588 A UPAB: 20040305

NOVELTY - A combinatorial library contains at least two
1,2-disubstituted-6-oxo-3-phenyl-piperidine-3-carboxamide compounds.

DETAILED DESCRIPTION - A combinatorial library contains at least
two 1,2-disubstituted-6-oxo-3-phenyl-piperidine-3-carboxamide
compounds of formula (I).

X = N or H (sic);

R1 = aromatic heterocyclic ring, 3-12C alicyclic or phenyl (all
substituted);

R2 = 1-6C alkyl, 1-10C alkylthio, 1-7C alkoxy (where the alkyl,
alkoxy and 1-4C alkylthio are substituted by at least one T1), 3-7C
cycloalkyl (optionally substituted by at least one T2), phenyl,
aromatic heterocyclic ring and alicycle (all the three groups are
optionally substituted by at least one T3), 7-18C substituted
phenylalkyl (optionally substituted by at least one heterocyclic ring,
1-12C alkyl, 1-12C alkoxy or 1-12C acyl (all optionally substituted),
OH, oxo, optionally substituted amino, guanidino, carboxy, carboxamide
or N-(1-12C alkyl)carboxamide (all optionally protected), halo, 1-12C
acyloxy, nitro, carbamoyl, N,N-(1-12C dialkyl)carboxamide, CN,
N-(1-12C alkylsulfonyl)amino, thiol, 1-10C alkylthio or 1-10C
alkylsulfonyl (where phenyl group is optionally substituted by at
least one 1-12C alkyl, 1-12C alkoxy, 1-12C acyl or phenyl (all
optionally substituted), OH, carboxy, carboxymethyl, hydroxymethyl,
optionally substituted amino, carboxamide or N-(1-12C
alkyl)carboxamide (all optionally protected), halo, CN, nitro, 1-12C
acyloxy, N,N-di(1-12C alkyl)carboxamide, trifluoromethyl, N-((1-12C
alkyl)sulfonyl)amino, N-(phenylsulfonyl)amino, or cyclic 2-12C
alkylene), 2-7C alkynyl, phenyl, 2-7C heterocyclic ring, (all
optionally substituted), 2-7C alkenyl, 1-7C substituted alkenyl,
naphthyl, substituted phenoxy (optionally substituted by at least one
T4), substituted cyclic 2-7C alkylene, 1-7C alkoxy, halo or 1-10C
alkylnitrile;

T1 = amino (optionally substituted), OH, oxo, guanidino,
carboxy, carboxamide, or N-(1-6C alkyl)carboxamide (all optionally
protected), heterocyclic ring or phenyl (both optionally substituted),
halo, 3-7C cycloalkyl, naphthyl, imidazolyl, indolyl, pyrrolidinyl,
1-7C alkoxy, 1-7C acyl, 1-7C acyloxy, nitro, carbamoyl, N,N-di(1-6C
alkyl)carboxamide, CN, methylsulfonylamino, thiol, 1-4C alkylthio or
1-4C alkylsulfonyl;

T2 = optionally substituted amino, OH, oxo, carboxy (all
optionally protected), 1-4C alkylthio, 1-4C alkylsulfoxide, 1-4C
alkylsulfonyl, 1-6C alkyl, 1-7C alkoxy or phenyl (all optionally
substituted), halo, trifluoromethyl, phenylthio, phenylsulfoxide or
phenylsulfonyl;

T3 = 1-6C alkyl, 1-7C alkoxy, 1-7C acyl or phenyl (all
optionally substituted), H, halo, CN, nitro, thio, 1-7C alkylthio,

Searcher : Shears 571-272-2528

1-7C acyloxy, N,N-di(1-6C alkyl)carboxamide, trifluoromethyl, N-((1-6C alkyl)sulfonyl)amino or NB(phenylsulfonyl)amino) (where the amino is optionally substituted by one or two phenyl, 1-6C alkyl, 1-7C acyl, 2-7C alkenyl, 2-7C alkynyl, 7-12C phenyl alkyl (all optionally substituted), heterocyclic ring) or optionally substituted phenyl;

T4 = OH, carboxy, carboxymethyl, hydroxymethyl, optionally substituted amino, carboxamide or N-(1-12C alkyl)carboxamide (all optionally protected), 1-12C optionally substituted alkoxy, halo, CN, nitro, 1-12C alkyl, 1-12C acyl, 1-12C acyloxy, N,N-di(1-12C alkyl)carboxamide, trifluoromethyl, N-((1-12C alkyl)sulfonyl)amino or N-(phenylsulfonyl)amino;

R3 and R4 = 1-6C alkyl, 1-7C alkoxy, 1-10C alkylthio (where the alkyl, alkoxy and 1-4C alkylthio are substituted by at least one T1), 3-7C cycloalkyl (optionally substituted by at least one T2), phenyl (optionally substituted by at least one T3), phenoxy (optionally substituted by at least one T4), 2-7C heterocyclic ring (optionally substituted), OH, H, 2-7C alkenyl, 1-10C alkyl nitrile or 1-4C alcohol; R5 = H or NH2;

R6 = phenyl (optionally substituted by at least one T3) or 2-7C heterocyclic ring (optionally substituted by at least one OH, carboxy, carboxymethyl, hydroxymethyl, optionally substituted amino, carboxamide or N-(1-12C alkyl)carboxamide (all optionally protected), 1-12C alkoxy or heterocycle (both optionally substituted), halo, CN, nitro, 1-12C alkyl, 1-12C acyl, 1-12C acyloxy, N,N-di(1-12C alkyl)carboxamide, trifluoromethyl, N-((1-12C alkyl)sulfonyl)amino or N-(phenylsulfonyl)amino).

INDEPENDENT CLAIMS are included for the following:

(1) a compound of formula (I) as new; and

(2) preparation of (I).

ACTIVITY - Fungicide; Antimicrobial; Analgesic; Cytostatic;

Anorectic.

MECHANISM OF ACTION - Radio-receptor inhibitor;

Calmodulin-dependent phosphodiesterase (CaMPDE) inhibitor;

Phosphodiesterase (PDE) inhibitor; Bacterial growth inhibitor.

Streptococcus pyogenes was grown in Todd Hewitt Broth (THB) overnight. This preparation was kept frozen as stocks in glycerol, (30 volume/volume %) in aliquots (1.5 ml) at -70 mC until use, prior to experiment, aliquots (6 ml) were thawed and diluted in (THB) (50 ml). 60 micro l of this dilution was added to 92 wells of microtiter plate. To three wells THB (200 micro l) was added to serve as a blank and a sterility control. 1-(2-(2,4-Dichloro-phenyl)-ethyl)-2-(4-hydroxy-phenyl)-6-oxo-3-phenyl-piperidine-3-carboxylic acid (3-dimethylamino-propyl)-amide (A) in dimethylsulfoxide (DMSO) and appropriate concentrations of DMSO were added to growth/solvent controls at 0 time. Percentage inhibition of (A) was calculated and found to be 99.97%.

USE - For treating fungal infection, pain, obesity or cancer.

ADVANTAGE - The compound needs less time and effort in the synthesis and testing required to bring an organic pharmaceutical product to fruition.

Dwg.0/3

L31 ANSWER 3 OF 6 WPIDS COPYRIGHT 2005 THE THOMSON CORP ON STN
 ACCESSION NUMBER: 2004-051098 [05] WPIDS
 CROSS REFERENCE: 1997-052346 [05]; 1998-239215 [21]; 1998-520821 [44];
 2002-680647 [73]; 2003-786882 [74]
 DOC. NO. NON-CPI: N2004-041307
 DOC. NO. CPI: C2004-020545
 TITLE: A composition for transfecting eukaryotic cells

Searcher : Shears 571-272-2528

comprises one or more nucleic acid molecules, one or more peptides or proteins (e.g. insulin or transferrin), and one or more transfection agents (e.g. dendrimers or lipids).

DERWENT CLASS: B04 D16 S03
 INVENTOR(S): CICCARONE, V C; EVANS, K L; GEBEYEHU, G;
 HAWLEY-NELSON, P; JESSEE, J A; LAN, J; SCHIFFERLI, K
 P; SHIH, P
 PATENT ASSIGNEE(S): (CICC-I) CICCARONE V C; (EVAN-I) EVANS K L; (GEBE-I)
 GEBEYEHU G; (HAWL-I) HAWLEY-NELSON P; (JESS-I) JESSEE
 J A; (LANJ-I) LAN J; (SCHI-I) SCHIFFERLI K P;
 (SHIH-I) SHIH P
 COUNTRY COUNT: 1
 PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---------------|------|----------|-----------|-----|----|
| US 2003144230 | A1 | 20030731 | (200405)* | 111 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-------------|----------------|
| US 2003144230 | A1 | CIP of | US 1995-477354 |
| | | CIP of | US 1996-658130 |
| | | CIP of | US 1997-818200 |
| | | Cont of | US 1998-39780 |
| | | Cont of | US 2001-911569 |
| | | | US 2002-200879 |
| | | | 19950607 |
| | | | 19960604 |
| | | | 19970314 |
| | | | 19980316 |
| | | | 20010723 |
| | | | 20020723 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|------|------------|
| US 2003144230 | A1 | CIP of |
| | | CIP of |
| | | Cont of |
| | | US 5736392 |
| | | US 6051429 |
| | | US 6376248 |

PRIORITY APPLN. INFO: US 1998-39780 19980316; US
 1995-477354 19950607; US
 1996-658130 19960604; US
 1997-818200 19970314; US
 2001-911569 20010723; US
 2002-200879 20020723

AN 2004-051098 [05] WPIDS
 CR 1997-052346 [05]; 1998-239215 [21]; 1998-520821 [44]; 2002-680647
 [73]; 2003-786882 [74]

AB US2003144230 A UPAB: 20040120

NOVELTY - A composition for transfecting a cell that comprises one or more nucleic acid molecules, one or more peptides or proteins, and one or more transfection agents, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

- (1) transfecting a cell with a nucleic acid, comprising contacting the cell with the novel composition;
- (2) a transfection reagent kit comprising a transfection agent and a peptide or protein or a modified peptide or protein capable of enhancing transfection of the transfection agent; and
- (3) a peptide comprising an NLS sequence or a Tat sequence

modified by covalent bonding to a nucleic acid-binding group.

USE - The composition and methods are useful in transfecting eukaryotic cells.

Dwg.0/4

L31 ANSWER 4 OF 6 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2004-118872 [12] WPIDS
 DOC. NO. CPI: C2004-047590
 TITLE: Improvement of shelf life of hindered phenol antioxidant, involves intimately mixing hindered phenol antioxidant with sulfur-containing peroxide decomposer.
 DERWENT CLASS: A60 A92 D21 E19 F06 F09 G02 G06
 INVENTOR(S): KINCAID, D R; SAMUELS, S; SANDERS, B M
 PATENT ASSIGNEE(S): (KINC-I) KINCAID D R; (SAMU-I) SAMUELS S; (SAND-I) SANDERS B M; (CYTE-N) CYTEC TECHNOLOGY CORP
 COUNTRY COUNT: 100
 PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|--|------|----------|-----------|----|----|
| US 2003073771 | A1 | 20030417 | (200412)* | 10 | |
| WO 2003035733 | A1 | 20030501 | (200412) | EN | |
| RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS | | | | | |
| LU MC MW NZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE | | | | | |
| DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG | | | | | |
| KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NZ NO NZ OM | | | | | |
| PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VN | | | | | |
| YU ZA ZM ZW | | | | | |
| AU 2002336427 | A1 | 20030506 | (200460) | | |
| US 6806304 | B2 | 20041019 | (200469) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-------------|--------------------------|
| US 2003073771 | A1 | Provisional | US 2001-325349P 20010927 |
| | | | US 2002-128921 20020424 |
| WO 2003035733 | A1 | | WO 2002-US28091 20020905 |
| AU 2002336427 | A1 | | AU 2002-336427 20020905 |
| US 6806304 | B2 | Provisional | US 2001-325349P 20010927 |
| | | | US 2002-128921 20020424 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|------|------------------------|
| AU 2002336427 | A1 | Based on WO 2003035733 |

PRIORITY APPLN. INFO: US 2001-325349P 20010927; US. 20020424
 2002-128921

AN 2004-118872 [12] WPIDS

AB US2003073771 A UPAB: 20040218

NOVELTY - Shelf life of a hindered phenol antioxidant is improved by, intimately mixing the hindered phenol antioxidant with a sulfur-containing peroxide decomposer.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:
 (a) a composition produced by the process above;

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(b) a stabilized composition, comprising the composition as above; and a material to be stabilized comprising polyolefins, polyesters, polyethers, polyketones, polyamides, natural and synthetic rubbers, polyurethanes, polystyrenes, high-impact polystyrenes, polyacrylates, polymethacrylates, polyacetals, polyacrylonitriles, polybutadienes, polystyrenes, acrylonitrile butadiene styrene, styrene acrylonitrile, acrylate styrene acrylonitrile, cellulosic acetate butyrate, cellulosic polymers, polyimides, polyamideimides, polyetherimides, polyphenylsulfides, polyphenylene oxide, polysulfones, polyethersulfones, polyvinylchlorides, polycarbonates, polyketones, aliphatic polyketones, thermoplastic olefins, aminoresin crosslinked polyacrylates and polyesters, polyisocyanate crosslinked polyesters and polyacrylates, phenol/formaldehyde, urea/formaldehyde and melamine/formaldehyde resins, drying and non-drying alkyd resins, alkyd resins, polyester resins, acrylate resins cross-linked with melamine resins, urea resins, isocyanates, isocyanurates, carbamates, epoxy resins, cross-linked epoxy resins derived from aliphatic, cycloaliphatic, heterocyclic and aromatic glycidyl compounds, which are crosslinked with anhydrides or amines, polysiloxanes, Michael addition polymers, amines, blocked amines with activated unsaturated and methylene compounds, ketimines with activated unsaturated and methylene compounds, polyketimines in combination with unsaturated acrylic polyacetoacetate resins, polyketimines in combination with unsaturated acrylic resins, radiation curable compositions, epoxymelamine resins, organic dyes, cosmetic products, cellulose-based paper formulations, photographic film paper, ink, waxes and/or fibers;

(c) an additive package comprising the composition above and at least one other additive comprising other anti-oxidants, ultraviolet absorbers and stabilizers, metal deactivators, hydroxylamines, nitrones, co stabilizers, nucleating agents, clarifying agents, neutralizers, metallic stearates, metal oxides, hydrotalcites, fillers and reinforcing agents, plasticizers, lubricants, emulsifiers, pigments, rheological additives, catalysts, level agents, optical brighteners, flameproofing agents, antistatic agents and/or blowing agents.

USE - For improving the shelf life of a hindered phenol antioxidant.

ADVANTAGE - The inventive method allows intimate contact of hindered phenol antioxidant with a sulfur-containing peroxide decomposer.

Dwg. 0/0

L31 ANSWER 5 OF 6 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STM
 ACCESSION NUMBER: 2000-411501 [35] WPIDS
 DOC. NO. CFI: C2000-124559
 TITLE: Cationic lipids as transfecting reagents for introducing e.g. macromolecules and nucleic acids into cells, useful for treating cancer, in vivo and ex vivo gene therapy, and in diagnostic methods.
 DERWENT CLASS: A28 A96 B05 B07 D16
 INVENTOR(S): CHU, Y; GEBEYEHU, G; MASOUD, M
 PATENT ASSIGNEE(S): (LIFE-N) LIFE TECHNOLOGIES INC; (INVI-N) INVITROGEN CORP
 COUNTRY COUNT: 90
 PATENT INFORMATION:

| PATENT NO | KIND DATE | WEEK | LA | PG |
|---------------|-----------|----------|--------------|-----|
| WO 2000027795 | A1 | 20000518 | (200035)* EN | 130 |

Searcher : Shears 571-272-2528

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW
 NL OA PT SD SE SL SZ TZ UG ZW
 W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE
 ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK
 LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG
 SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
 AU 2000014776 A 20000529 (200041)
 EP 1129064 A1 20010905 (200151) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL
 PT RO SE SI
 JP 2002529439 W 20020910 (200274) 146
 NZ 512244 A 20031219 (200404)
 AU 772847 B2 20040506 (200460)

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-----------------|----------|
| WO 2000027795 | A1 | WO 1999-US26825 | 19991112 |
| AU 2000014776 | A | AU 2000-14776 | 19991112 |
| EP 1129064 | A1 | EP 1999-971794 | 19991112 |
| | | WO 1999-US26825 | 19991112 |
| JP 2002529439 | W | WO 1999-US26825 | 19991112 |
| | | JP 2000-580975 | 19991112 |
| NZ 512244 | A | NZ 1999-512244 | 19991112 |
| | | WO 1999-US26825 | 19991112 |
| AU 772847 | B2 | AU 2000-14776 | 19991112 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|-------------------|---------------|
| AU 2000014776 | A Based on | WO 2000027795 |
| EP 1129064 | A1 Based on | WO 2000027795 |
| JP 2002529439 | W Based on | WO 2000027795 |
| NZ 512244 | A Based on | WO 2000027795 |
| AU 772847 | B2 Previous Publ. | AU 2000014776 |
| | Based on | WO 2000027795 |

PRIORITY APPLN. INFO: US 1998-108117P 19981112

AN 2000-411501 [35] WPIDS

AB WO 200027795 A UPAB: 20000725

NOVELTY - The cationic lipids (I) are new.

DETAILED DESCRIPTION - The cationic lipids of formula (I) are new.

Q = N, O or S;

L = C, CH, (CH₂)₁ or ((CH₂)_i-Y'-(CH₂)_j)_k;

Y' = CH₂, ether, polyether, amido, polyamido, ester, sulfide, urea, thiourea, guanidyl, carbamoyl, carbonate, phosphate, sulfate, sulfoxide, imine, carbonyl or secondary amino (all optionally substituted with -X₁-L'-X₂-Z or Z);

R₁-R₆ = alkyl, alkyl ether (optionally substituted with alcohol, aminoalcohol, amino, amido, ether, polyether, polyamide, ester, mercaptan, alkylthio, urea, thiourea, guanidyl or carbamoyl (at least one of R₁, R₃, R₄ and R₆ is 6-64C cyclic, 6-64C alkyl, 6-64C alkenyl, 6-64C alkynyl or 6-64C aryl, and R₁ and R₄ or R₃ and R₆ are linked with each other, Y' or L (when L is C or CH) to form a cyclic group), H, -(CH₂)_p-D'-Z), alkenyl or aryl;

Z = amino, spermidyl, carboxyspermidyl, guanidyl, spermidinyl,

putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamino amino acid, peptide or protein;

X1, X2 = NH, O, S, alkylene or arylene;

L' = alkylene, alkenylene, alkynylene, arylene, alkylene ether or polyether;

D' = Q or bond;

A1, A2 = CH2O, CH2S, CH2NH, C(O), C(NH), C(S) or (CH2)t;

X = anion;

m, n, r, s, u, v, w, y = 0 or 1;

i, j, k, l, p, t = 0-100;

q = 1-1000;

a = number of positive charge divided by the valency of the anion;

provided that when m and n are 0, then at least one of r, s, u and y is other than 0.

INDEPENDENT CLAIMS are also included for:

(1) a composition comprising at least one compound (I);

(2) a lipid aggregate comprising at least one compound (I);

(3) a kit comprising at least one compound (I) and at least one additional component e.g. cell, cells, cell culture media, nucleic acid, transfection enhancer and instructions for transfecting a cell or cells;

(4) a method for introducing a polyanion into a cell or cells, comprising forming a liposome from a positively charged compound (I), contacting the liposome with the polyanion to form a positively charged polyanion-liposome complex and incubating the complex with a cell or cells; and

(5) a method for introducing a biological active substance into a cell comprising forming a liposome of a compound (I) and a biological active substance, and incubating the liposome with a cell or cell culture.

ACTIVITY - Cytostatic; gene therapy.

MECHANISM OF ACTION - None given.

USE - (I) are useful in lipid aggregates, especially liposomes, for the transfection or delivery of macromolecules or other compounds into cells. The method of transfecting cells or tissues is useful for producing gene products, in the production of transgenic animals, in therapeutic methods requiring introducing nucleic acids (e.g. DNA and RNA) into cells or tissues, treating cancer, in vivo and ex vivo gene therapy, and in diagnostic methods. Primary, passaged, normal human fibroblasts (NHF) were in 96-well plates at a density of 1.6×10^4 cells per well and transfected the following day with a DNA-lipid complex formed from pCMV.SPORT- beta -gal DNA (40 ng) and lipid (0.1 micro l) diluted in DMEM. The lipid was either lipofectAMINE (a) or N1,N4-dioleyl-N1,N4-di-(2-hydroxy-3-(N-spermine carboxamido)-aminopropyl)-diaminobutane (b). Cells were assessed for beta -gal activity and results were (ng/ beta -gal/cm2): 10.36 for complex DNA-(a) and 29.4 for complex DNA-(b).

ADVANTAGE - (I) are polycationic capable, when dispersed in water, of forming lipid aggregates by producing highly stable complexes with anionic macromolecules and polyanions (e.g. nucleic acids), in order to facilitate the uptake of a compound into cells. Dwg.0/4

L31 ANSWER 6 OF 6 WPIDS COPYRIGHT 2005 THE THOMSON CORP ON STN

ACCESSION NUMBER: 2000-548471 [50] WPIDS

DOC. NO. CPI: C2000-163632

TITLE: Ink composition for ink jet printing comprises

Searcher : Shears 571-272-2528

oxazoline compound, thiourea compound, lightfastness compound, antioxidant and colorant.

DERWENT CLASS: E19 E24 G02
 INVENTOR(S): BRETON, M P; MALHOTRA, S L; WONG, R W
 PATENT ASSIGNEE(S): (XERO) XEROX CORP
 COUNTRY COUNT: 1
 PATENT INFORMATION:

| PATENT NO | KIND DATE | WEEK | LA | PG |
|------------|-----------|--------------------|----|----|
| US 6106601 | A | 20000822 (200050)* | 14 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|------------|------|----------------|----------|
| US 6106601 | A | US 1999-300298 | 19990427 |

PRIORITY APPLN. INFO: US 1999-300298 19990427

AN 2000-548471 [50] WPIDS

AB US 6106601 A UPAB: 20001010

NOVELTY - An ink composition comprises:

- (1) an oxazoline compound;
- (2) a thiourea compound with an melting point of 25-100 deg. C, and with an acoustic-loss value of 5-40 dB/mm;
- (3) an alcohol;
- (4) a lightfastness compound;
- (5) an antioxidant; and
- (6) a colorant.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a printing process comprising incorporating into an acoustic ink jet printer the above ink, and causing droplets of the ink to be ejected in imagewise pattern onto a substrate.

USE - The ink composition is used for an acoustic ink jet printer (claimed).

ADVANTAGE - The ink composition is compatible with a wide variety of plain papers and yields photographic quality images and high quality text at low cost. The ink composition generates fast drying images, where the colorant is retained on the paper surface while the ink vehicle continues to spread within the paper structure. The ink exhibits minimal feathering and intercolor bleed. The ink can be used where the substrate is heated prior to printing and cooled to ambient subsequent to printing. High optical densities can be achieved with low dye concentrations.

Dwg. 0/0

FILE 'HOME' ENTERED AT 15:23:21 ON 12 APR 2005

=> d his ful

(FILE 'HOME' ENTERED AT 15:09:53 ON 12 APR 2005)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 15:10:01 ON 12 APR 2005
ACT EPPS43836/A

```

L1          STR
L2          STR
L3 (        5435)SEA SSS FUL L1 OR L2
L4          STR
L5          STR
L6          STR
L7          STR
L8 (        547)SEA SUB=L3 SSS FUL (L4 OR L5 OR L6 OR L7)
L9 (        155)SEA ABB=ON PLU=ON L8 AND NO RSD/FA
L10         10 SEA ABB=ON PLU=ON L9 AND 1/NC

```

D QUE STAT

FILE 'CAPLUS' ENTERED AT 15:10:36 ON 12 APR 2005

```

L11         1122 SEA ABB=ON PLU=ON L10
L12         18 SEA ABB=ON PLU=ON L11 AND TRANSPECT?
          D 1-18 IBIB ABS HITSTR

```

FILE 'CAOLD' ENTERED AT 15:11:51 ON 12 APR 2005

```

L13         4 SEA ABB=ON PLU=ON L10
          D 1-4

```

FILE 'USPATFULL' ENTERED AT 15:12:13 ON 12 APR 2005

```

L14         338 SEA ABB=ON PLU=ON L10
L15         22 SEA ABB=ON PLU=ON L14 AND TRANSPECT?
          D 1-22 IBIB ABS

```

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 15:12:55 ON 12 APR 2005

```

L16         12 SEA ABB=ON PLU=ON L10
L17         12 DUP REM L16 (0 DUPLICATES REMOVED)
          D 1-12 IBIB ABS

```

FILE 'REGISTRY' ENTERED AT 15:13:26 ON 12 APR 2005

```

L18         0 SEA ABB=ON PLU=ON ?"AMINOPROPYL))-DIAMINOBUTANE"?/CNS
L19         0 SEA ABB=ON PLU=ON ?"HYDROXY-3-(N-AMINOPROPYL"?/CNS
L20         0 SEA ABB=ON PLU=ON ?"HYDROXY-3-(N-SPERMINE"?/CNS

```

FILE 'CAPLUS' ENTERED AT 15:14:53 ON 12 APR 2005

```

L21         68541 SEA ABB=ON PLU=ON 2(W)HYDROXY
L22         13506 SEA ABB=ON PLU=ON 3(1W)(AMINOPROPYL? OR AMINO(W)(PR OR
          PROPYL?) OR SPERMINECARBOXAMIDO? OR SPERMINE(W)(CARBOXAMIDO
          ? OR CARBOX AMIDO?))
          D KWIC
L23         90 SEA ABB=ON PLU=ON L21(S)L22
          D KWIC
L24         6907 SEA ABB=ON PLU=ON DIPALMITOLYL? OR DISTEARYL? OR
          DILAURYL? OR DIMYRISTYL? OR DIPALMITY? OR DIOLEYL? OR
          DI(W)(PALMITOLYL? OR STEARYL? OR LAURYL? OR MYRISTYL? OR
          PALMITY? OR OLEYL?)
L25         0 SEA ABB=ON PLU=ON L23(S)L24
          D KWIC

```

Searcher : Shears 571-272-2528

09/438365

L26 D KWIC L24
5920 SEA ABB=ON PLU=ON DIAMINOBUTANE OR DI(W) (AMINOBUTANE OR
 AMINO(W) (ETHANE OR BUTANE) OR AMINOETHANE) OR DIAMINO(W) (E
 THANE OR BUTANE) OR JEFFAMINE OR DIAMINOETHANE
L27 0 SEA ABB=ON PLU=ON L23(S)L26

FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
JICST-EPLUS, JAPIO, CBNB, CIN, CEN' ENTERED AT 15:21:20 ON 12 APR 2005
L28 4 SEA ABB=ON PLU=ON L25
L29 3 SEA ABB=ON PLU=ON L27
 D QUE L25
L30 6 SEA ABB=ON PLU=ON L28 OR L29
L31 6 DUP REM L30 (0 DUPLICATES REMOVED)
 D 1-6 IBIB ABS

Searcher : Shears 571-272-2528